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I also certify that by virtue of an assignment registered under the Patents Act 1977, the application is now proceeding in the name as substituted.

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Signed R. Mahoney

Dated 12 June 2003

GB0214117.4

By virtue of a direction given under Section 30 of the Patents Act 1977, the application is proceeding in the name of

NOVARTIS AG,
Incorporated in Switzerland,
Lichtstrasse 35,
CH-4056
Basel,
Switzerland

[ADP No. 08512725002]

Patents Form 1/77

Patents Act 1977
(Rule 16)

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1/77

Request for grant of a patent

(See the notes on the back of this form. You can also get an explanatory leaflet from the Patent Office to help you fill in this form)

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1. Your reference

PF/5-70071P1

19 JUN 2002

2. Patent application number
(The Patent Office will fill in this part)

0214117.4

16/5/03.

3. Full name, address and postcode of the or of each applicant *(underline all surnames)*

SYNGENTA PARTICIPATIONS AG
Intellectual Property Department
Schwarzwaldallee 215
4058 Basel, SWITZERLAND

SECTION 30 (1977 ACT) APPLICATION FILED

Patents ADP number *(if you know it)*

802955001

If the applicant is a corporate body, give the country/state of its incorporation

4. Title of the invention

N-Sulphonylaminoacetonitriles having pesticidal properties

5. Name of your agent *(if you have one)*

Michael James RICKS

"Address for service" in the United Kingdom to which all correspondence should be sent *(including the postcode)*

Syngenta Limited
Intellectual Property Department
Jealott's Hill Research Centre
PO Box 3538, BRACKNELL
Berkshire, RG42 6YA, UNITED KINGDOM

Patents ADP number *(if you know it)*

01282433003

6. If you are declaring priority from one or more earlier patent applications, give the country and the date of filing of the or of each of these earlier applications and *(if you know it)* the or each application number

Country	Priority application number *(if you know it)*	Date of filing *(day / month / year)*

7. If this application is divided or otherwise derived from an earlier UK application, give the number and the filing date of the earlier application

Number of earlier application	Date of filing *(day / month / year)*

8. Is a statement of inventorship and of right to grant of a patent required in support of this request? *(Answer 'Yes' if:*

a) any applicant named in part 3 is not an inventor, or
b) there is an inventor who is not named as an applicant, or
c) any named applicant is a corporate body.
See note (d))

Patents Form 1/77

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Continuation sheets of this form	
Description	46
Claim(s)	3
Abstract	1
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10. If you are also filing any of the following, state how many against each item.

Priority documents	
Translations of priority documents	
Statement of inventorship and right to grant of a patent *(Patents Form 7/77)*	
Request for preliminary examination and search *(Patents Form 9/77)*	
Request for substantive examination *(Patents Form 10/77)*	
Any other documents *(please specify)*	

11. I/We request the grant of a patent on the basis of this application.

SYNGENTA PARTICIPATIONS AG

Signature Joanna Carmen Chandler Date 19/6/02

Authorised Signatory

12. Name and daytime telephone number of person to contact in the United Kingdom

Joanna Carmen CHANDLER 01344 414079
Julie Anne BOWDICH 01344 414365

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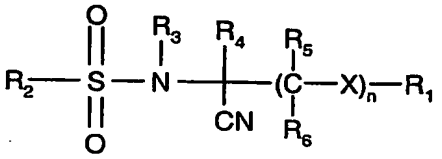
N-Sulphonylaminoacetonitriles having pesticidal properties

The present invention relates to novel sulphonylaminoacetonitrile compounds of the formula



(I),

in which

R_1 is aryl or heteroaryl, in each case unsubstituted or mono- or polysubstituted by R_7, where the substituents can in each case be identical or different if their number is greater than 1;

R_2 is C_1-C_6alkyl, halo-C_1-C_6alkyl, C_3-C_8cycloalkyl, halo-C_3-C_8cycloalkyl, NHR_8; aryl or heteroaryl, in each case unsubstituted or mono- or polysubstituted by R_7, where the substituents can in each case be identical or different if their number is greater than 1, or pyrrolidinyl, piperidinyl, imidazolidinyl, piperazinyl, pyrazolidinyl, morpholinyl, indolinyl or isoindolinyl, in each case bonded via N;

R_3 is hydrogen, C_1-C_6alkyl, halo-C_1-C_6alkyl, C_1-C_6alkoxy-C_1-C_6alkyl, benzyl, C_1-C_6alkyl-heteroaryl, C_1-C_6alkoxycarbonyl or C_1-C_6alkylcarbonyl;

R_4, R_5 and R_6 either independently of one another are hydrogen, halogen, C_1-C_6alkyl, halo-C_1-C_6alkyl, C_1-C_6alkoxy, halo-C_1-C_6alkoxy, C_1-C_6alkylthio, halo-C_1-C_6alkylthio, C_2-C_6alkenyl, C_2-C_6alkynyl, unsubstituted or substituted C_3-C_8cycloalkyl, where the substituents are selected from the group consisting of halogen and C_1-C_6alkyl, or unsubstituted or substituted phenyl, where the substituents are selected from the group consisting of halogen, C_1-C_6alkyl and phenyl;

or R_4 and R_5, together with the carbon atoms to which they are bonded, are a five- to seven-membered, saturated or partially unsaturated heterocyclic ring having 1 or 2 heteroatoms from the group consisting of nitrogen, oxygen and sulphur;

R_7 is halogen, C_1-C_6alkyl, halo-C_1-C_6alkyl, C_1-C_6alkoxy, halo-C_1-C_6alkoxy, C_1-C_6alkylthio, halo-C_1-C_6alkylthio, C_2-C_6alkenyl, C_2-C_6alkynyl; aryl, phenylacetylenyl or heteroaryl, in each case unsubstituted or mono- or polysubstituted, where the substituents are in each case selected from the group consisting of halogen, nitro, cyano, C_1-C_6alkyl, halo-C_1-C_6alkyl, C_1-C_6alkoxy, halo-C_1-C_6alkoxy, and can in each case be identical or different if their number is greater than 1;

R_8 is aryl which is unsubstituted or mono- to pentasubstituted, where the substituents are selected from the group consisting of halogen, nitro, cyano, C_1-C_6alkyl, halo-C_1- C_6alkyl, C_1-C_6alkoxy and halo-C_1-C_6alkoxy, and can be identical or different if their number is greater than 1;

X is O, S, S(O) or $S(O)_2$; and

n is 0 or 1;

and, if appropriate, E/Z isomers, E/Z isomer mixtures and/or tautomers, in each case in free form or in salt form;

a process for preparation and the use of these compounds, their isomers and tautomers; starting compounds for the preparation of the compounds of the formula (I); pesticides whose active compound is selected from the compounds of the formula (I) and their tautomers; and a process for the control of plant-injurious insects and representatives of the order Acarina, and of endo- and ectoparasites, in particular helminths, in and on warm-blooded agricultural and domestic animals, using these compositions.

Substituted aminoacetonitrile compounds having pesticidal action are described in the literature. The active compounds actually disclosed there however, cannot always fulfil the requirements with respect to potency and spectrum of action. There is thus a need for active compounds having improved pesticidal properties. It has now been found that the compounds of the formula (I) according to the invention have outstanding pesticidal properties, in particular against endo- and ectoparasites in and on agricultural and domestic animals and plants.

Some compounds of formula (I) may be in the form of tautomers. The compounds of formula (I) are therefore to be understood hereinbefore and hereinafter as including corresponding tautomers, where appropriate, even if the latter are not specifically mentioned in each case.

The compounds of formula (I) and, where appropriate, tautomers thereof are capable of forming salts, for example acid addition salts. Those acid addition salts are formed, for example, with strong inorganic acids, such as mineral acids, e.g. sulfuric acid, a phosphoric acid or a hydrohalic acid, with strong organic carboxylic acids, such as unsubstituted or substituted, e.g. halo-substituted, C_1-C_4alkanecarboxylic acids, e.g. acetic acid, saturated or unsaturated dicarboxylic acids, e.g. oxalic, malonic, maleic, fumaric and phthalic acid,

or with organic sulfonic acids, such as unsubstituted or substituted, e.g. halo-substituted, C_1-C_4alkane- or aryl-sulfonic acids, e.g. methane- or p-toluene-sulfonic acid. Furthermore, compounds of formula (I) having at least one acid group are capable of forming salts with bases. Suitable salts with bases are, for example, metal salts, such as alkali metal and alkaline earth metal salts, e.g. sodium, potassium and magnesium salts, and salts with ammonia or an organic amine, such as morpholine, piperidine, pyrrolidine, a mono-, di- or tri-lower alkylamine, e.g. ethyl-, diethyl-, triethyl- or dimethyl-propyl-amine, or a mono-, di- or tri-hydroxy-lower alkylamine, e.g. mono-, di- or tri-ethanolamine. In addition, corresponding internal salts may optionally also be formed. Preference is given, firstly, to the free form. Among the salts of compounds of formula (I) preference is given to agrochemically advantageous salts. The free compounds of formula (I) and salts thereof are to be understood hereinbefore and hereinafter as including, where appropriate, both the corresponding salts and the free compounds of formula (I), respectively. The same is correspondingly true for tautomers of compounds of formula (I) and salts thereof.

The general terms used hereinbefore and hereinafter have the following meanings, unless defined otherwise.

Aryl is phenyl or naphthyl.

Heteroaryl is pyridyl, pyrimidyl, s-triazinyl, 1,2,4-triazinyl, thienyl, furanyl, pyrryl, pyrazolyl, imidazolyl, thiazolyl, triazolyl, oxazolyl, thiadiazolyl, oxadiazolyl, benzothienyl, benzofuranyl, benzothiazolyl, indolyl or indazolyl, preferably pyridyl, pyrimidyl, s-triazinyl or1,2,4-triazinyl, in particular pyridyl or pyrimidyl.

Alkyl - as a group per se and as a structural element of other groups and compounds, such as of haloalkyl,alkoxy and alkylthio, - is, in each case taking into account the number of the carbon atoms included from case to case in the corresponding group or compound, either straight-chain, that is methyl, ethyl, propyl, butyl, pentyl, hexyl, heptyl or octyl, or branched, e.g. isopropyl, isobutyl, sec-butyl, tert-butyl, isopentyl, neopentyl or isohexyl.

Alkenyl - as a group per se and as a structural element of other groups and compounds - is, in each case taking into account the number of the carbon atoms and conjugated or isolated double bonds included from case to case in the corresponding group or compound, either straight-chain, e.g. allyl, 2-butenyl, 3-pentenyl, 1-hexenyl, 1-heptenyl, 1,3-hexadienyl or 1,3-octadienyl, or branched, e.g. isopropenyl, isobutenyl, isoprenyl, tert-pentenyl, isohexenyl, isoheptenyl or isooctenyl.

Alkynyl - as a group per se and as a structural element of other groups and compounds - is, in each case taking into account the number of the carbon atoms and conjugated or isolated double bonds included from case to case in the corresponding group or compound, either straight-chain, e.g. propargyl, 2-butynyl, 3-pentynyl, 1-hexynyl, 1-heptynyl, 3-hexen-1-ynyl, or 1,5-heptadien-3-ynyl, or branched, e.g. 3-methylbut-1-ynyl, 4-ethylpent-1-ynyl, 4-methylhex-2-ynyl or 2-methylhept-3-ynyl.

Cycloalkyl - as a group per se and as a structural element of other groups and compounds, such as of halocycloalkyl - is, in each case taking into account the number of the carbon atoms included from case to case in the corresponding group or compound, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, cycloheptyl or cyclooctyl.

Halogen - as a group per se and as a structural element of other groups and compounds - such as of haloalkyl, haloalkoxy and haloalkylthio - is fluorine, chlorine, bromine or iodine, in particular fluorine, chlorine or bromine, especially fluorine or chlorine.

Halogen-substituted carbon-containing groups and compounds, such as haloalkyl, haloalkoxy or haloalkylthio, can be partially halogenated or perhalogenated, it being possible in the case of polysubstitution for the halogen substituents to be identical or different. Examples of haloalkyl - as a group per se and as a structural element of other groups and compounds - such as of haloalkoxy, or haloalkylthio, are methyl which is mono- to trisubstituted by fluorine, chlorine and/or bromine, such as CHF_2 or CF_3; ethyl which is mono- to pentasubstituted by fluorine, chlorine and/or bromine, such as CH_2CF_3, CF_2CF_3, CF_2CCl_3, CF_2CHCl_2, CF_2CHF_2, CF_2CFCl_2, CF_2CHBr_2, CF_2CHClF, CH_2CHBrF or $CClFCHClF$; propyl or isopropyl which is one mono- to heptasubstituted by fluorine, chlorine and/or bromine, such as $CH_2CHBrCH_2Br$, CF_2CHFCF_3, $CH_2CF_2CF_3$ or $CH(CF_3)_2$; butyl or one of its isomers which is mono- to nonasubstituted by fluorine, chlorine and/or bromine, such as $CF(CF_3)CHFCF_3$ or $CH_2(CF_2)_2CF_3$; pentyl or one of its isomers which is mono- to undecasubstituted by fluorine, chlorine and/or bromine, such as $CF(CF_3)(CHF)_2CF_3$ or $CH_2(CF_2)CF_3$; and hexyl or one of its isomers which is mono- to tridecasubstituted by fluorine, chlorine and/or bromine, such as $(CH_2)_4CHBrCH_2Br$, $CF_2(CHF)_4CF_3$, $CH_2(CF_2)_4CF_3$ or $C(CF_3)_2(CHF)_2CF_3$.

Alkoxy groups preferably have a chain length of 1 to 6 carbon atoms. Alkoxy is, for example, methoxy, ethoxy, propoxy, i-propoxy, n-butoxy, isobutoxy, sec-butoxy and tert-butoxy, and the isomers pentyloxy and hexyloxy; preferably methoxy and ethoxy. Haloalkoxy groups preferably have a chain length of 1 to 6 carbon atoms. Halogen is, for example, fluoro-

2-fluoro-ethoxy, 2-chloroethoxy, 2,2-difluoroethoxy and 2,2,2-trichloroethoxy; preferably difluoromethoxy, 2-chloroethoxy and trifluoromethoxy.

Alkylthio groups preferably have a chain length of 1 to 6 carbon atoms. Alkylthio is, for example, methylthio, ethylthio, propylthio, isopropylthio, n-butylthio, isobutylthio, sec-butylthio or tert-butylthio, preferably methylthio and ethylthio.

Preferred embodiments within the context of the invention, taking into account the above-mentioned proviso, are:

(1) a compound of the formula (I), in which R_1 is aryl which is unsubstituted or mono- to pentasubstituted by R_7, where the substitutents in each case can be identical or different if their number is greater than 1; particularly aryl which is mono- to trisubstituted by R_7, where the substituents in each case can be identical or different if their number is greater than 1;

(2) a compound of the formula (I), in which R_2 is C_1-C_6alkyl, halo-C_1-C_6alkyl, aryl or heteroaryl which is in each case unsubstituted or mono- to polysubstituted by R_7, where the substituents can in each case be identical or different if their number is greater than 1; particularly C_1-C_6alkyl, halo-C_1-C_6alkyl or aryl which is unsubstituted or mono- to pentasubstituted by R_7, where the substituents can be identical or different if their number is greater than 1; very particularly aryl which is unsubstituted or mono- to trisubstituted by R_7, where the substituents can be identical or different if their number is greater than 1;

(3) a compound of the formula (I), in which R_3 is hydrogen or C_1-C_6alkyl; particularly hydrogen or C_1-C_4alkyl; very particularly hydrogen;

(4) a compound of the formula (I), in which R_4, R_5 and R_6 independently of one another are hydrogen, C_1-C_6alkyl, halo-C_1-C_6alkyl, C_1-C_6alkoxy, halo-C_1-C_6alkoxy, C_2-C_6alkenyl, C_2-C_6-alkynyl, C_3-C_6cycloalkyl; particularly hydrogen, C_1-C_4alkyl, halo-C_1-C_4alkyl or C_3-C_6cycloalkyl; very particularly hydrogen or C_1-C_2alkyl.

(5) a compound of the formula (I), in which R_7 is halogen, C_1-C_4alkyl, halo-C_1-C_4alkyl, C_1-C_4-alkoxy, halo-C_1-C_4alkoxy; aryl or phenylacetylenyl, in each case unsubstituted or mono- or polysubstituted, where the substituents are selected from the group consisting of halogen, C_1-C_6alkyl, halo-C_1-C_6alkyl, C_1-C_6alkoxy, halo-C_1-C_6alkoxy, and can in each case be identical or different if their number is greater than 1; particularly halogen, C_1-C_2alkyl, halo-C_1-C_2alkyl, C_1-C_2alkoxy, halo-C_1-C_2alkoxy; very particularly halogen or halo-C_1-C_2alkyl;

(6) a compound of the formula (I), in which R_8 is unsubstituted or mono- to trisubstituted aryl, where the substituents are selected from the group consisting of halogen, C_1-C_4alkyl, halo-C_1-C_4alkyl, C_1-C_4alkoxy and halo-C_1-C_4alkoxy, and can be identical or different if their number is greater than 1; particularly mono- to trisubstituted aryl, where the substituents are selected from the group consisting of halogen, C_1-C_2alkyl, halo-C_1-C_2alkyl, and halo-C_1-C_2alkoxy, and can be identical or different if their number is greater than 1; very particularly mono- or disubstituted aryl, where the substituents are selected from the group consisting of halogen and halo-C_1-C_2alkyl, and can be identical or different if their number is greater than 1;

(7) a compound of the formula (I), in which X is O or S; particularly O;

(8) a compound of the formula (I), in which n is 1;

(9) a compound of the formula (I), in which R_1 is aryl which is unsubstituted or mono- or pentasubstituted by R_7, where the substituents can in each case be identical or different if their number is greater than 1; R_2 is C_1-C_6alkyl, halo-C_1-C_6alkyl, aryl or heteroaryl, in each case unsubstituted or mono- or polysubstituted by R_7, where the substituents can in each case be identical or different if their number is greater than 1; R_3 is hydrogen or C_1-C_6alkyl; R_4, R_5 and R_6 independently of one another are hydrogen, C_1-C_6alkyl, halo-C_1-C_6alkyl, C_1-C_6alkoxy, halo-C_1-C_6alkoxy, C_2-C_6alkenyl, C_2-C_6alkynyl, C_3-C_6cycloalkyl; R_7 is halogen, C_1-C_4alkyl, halo-C_1-C_4alkyl, C_1-C_4alkoxy, halo-C_1-C_4alkoxy, aryl or phenylacetylenyl, in each case unsubstituted or mono- or polysubstituted, where the substituents are selected from the group consisting of halogen, C_1-C_6alkyl, halo-C_1-C_6alkyl, C_1-C_6alkoxy, halo-C_1-C_6alkoxy, and in each case can be identical or different if their number is greater than 1; R_8 is unsubstituted or mono- to trisubstituted aryl, where the substituents are selected from the group consisting of halogen, C_1-C_4alkyl, halo-C_1-C_4alkyl, C_1-C_4alkoxy and halo-C_1-C_4alkoxy, and can be identical or different if their number is greater than 1; X is O or S; and n is 1;

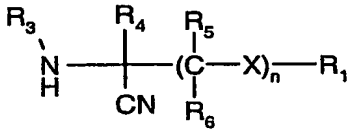
(10) a compound of the formula (I), in which R_1 is aryl which is mono- or trisubstituted by R_7, where the substituents can in each case be identical or different if their number is greater than 1; R_2 is C_1-C_6alkyl, halo-C_1-C_6alkyl or aryl which is unsubstituted or mono- to pentasubstituted by R_7, where the substituents can be identical or different if their number is greater than 1; R_3 is hydrogen or C_1-C_4alkyl; R_4, R_5 and R_6 independently of one another are hydrogen, C_1-C_2alkyl, halo-C_1-C_4alkyl or C_3-C_6cycloalkyl; R_7 is halogen, C_1-C_2alkyl, halo-C_1-C_2alkyl, C_1-C_2alkoxy or halo-C_1-C_2alkoxy; R_8 is mono- to trisubstituted aryl, where the

and halo-C_1-C_2alkoxy, and can be identical or different if their number is greater than 1; X is O; and n is 1;

(11) a compound of the formula (I), in which R_1 is aryl which is mono- to trisubstituted by R_7, where the substituents can in each case be identical or different if their number is greater than 1; R_2 is aryl which is unsubstituted or mono- to trisubstituted by R_7, where the substituents can in each case be identical or different if their number is greater than 1; R_3 is hydrogen; R_4, R_5 and R_6 independently of one another are hydrogen or C_1-C_2alkyl; R_7 is halogen or halo-C_1-C_2alkyl; R_8 is mono- or disubstituted aryl, where the substituents are selected from the group consisting of halogen and halo-C_1-C_2alkyl, and can be identical or different if their number is greater than 1; X is O; and n is 1.

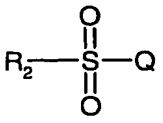
Particularly preferred compounds of the formula (I) for the purposes of the invention are those listed in tables 1 and 2 and very particularly preferably the compounds of the formula (I) mentioned in the synthesis examples.

A further subject of the invention is the process for the preparation of the compounds of the formula (I), in each case in free form or in salt form, characterized in that a compound of the formula



(II),

which is known or can be prepared in analogy to corresponding known compounds and in which R_1, R_3, R_4, R_5, R_6, X and n are as defined for the formula (I), is reacted with a compound of the formula



(III),

which is known or can be prepared in analogy to corresponding known compounds and in which R_2 is as defined for the formula (I) and Q is a leaving group, if appropriate in the presence of a basic catalyst, and in each case, if desired, a compound of the formula (I), in each case in free form or in salt form, obtainable according to the process or in another manner, is converted into another compound of the formula (I), a mixture of isomers obtainable according to the process is separated and the desired isomer is isolated and/or a free compound of the formula (I) obtainable according to the process is converted into a salt or a

salt of a compound of the formula (I) obtainable according to the process is converted into the free compound of the formula (I) or into another salt.

For starting materials mentioned above and below, it applies with respect to their salts that what has been said above for salts of compounds of the formula (I) applies in an analogous manner.

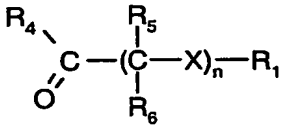
The reactants can be reacted with one another as such, that is without addition of a solvent or diluent, e.g. in the melt. Usually, however, the addition of an inert solvent or diluent or of a mixture thereof is advantageous. Examples of such solvents or diluents which may be mentioned are: aromatic, aliphatic and alicyclic hydrocarbons and halogenated hydrocarbons, such as benzene, toluene, xylene, mesitylene, tetralin, chlorobenzene, dichlorobenzene, bromobenzene, petroleum ether, hexane, cyclohexane, dichloromethane, trichloromethane, tetrachloromethane, dichloroethane, trichloroethene or tetrachloroethene; ethers, such as diethyl ether, dipropyl ether, diisopropyl ether, dibutyl ether, tert-butyl methyl ether, ethylene glycol monomethyl ether, ethylene glycol monoethyl ether, ethylene glycol dimethyl ether, dimethoxydiethyl ether, tetrahydrofuran or dioxane; ketones, such as acetone, methyl ethyl ketone or methyl isobutyl ketone; amides, such as N,N-dimethylformamide, N,N-diethylformamide, N,N-dimethylacetamide, N-methylpyrrolidone or hexamethylphosphoramide; nitriles, such as acetonitrile or propionitrile; and sulphoxides, such as dimethyl sulphoxide.

Preferred leaving groups are halogens, in particular chlorine.

Suitable bases for facilitating the reaction are, for example, alkali metal or alkaline earth metal hydroxides, hydrides, amides, alkoxides, acetates, carbonates, dialkylamides or alkylsilylamides, alkylamines, alkylenediamines, free or N-alkylated, unsaturated or saturated, cycloalkylamines, basic heterocycles, ammonium hydroxides, and carbocyclic amines. Examples which may be mentioned are sodium hydroxide, hydride, amide, methoxide, acetate, carbonate, potassium t-butoxide, hydroxide, carbonate, hydride, lithium diisopropylamide, potassium bis(trimethylsilyl)amide, calcium hydride, triethylamine, diisopropylamine, triethylenediamine, cyclohexylamine, N-cyclohexyl-N,N-dimethylamine, N,N-diethylaniline, pyridine, 4-(N,N-dimethylamino)pyridine, quinuclidine, N-methylmorpholine, benzyltrimethylammonium hydroxide, and 1,5-diazabicyclo[5.4.0]undec-5-ene (DBU).

The reaction is advantageously carried out in a temperature range from approximately 0°C to approximately + 150°C, preferably from approximately 20°C to approximately + 100°C.

A further subject of the invention is the process for the preparation of the compounds of the formula (II), in each case in free form or in salt form, for example characterized in that a compound of the formula



(IV),

which is known or can be prepared in analogy to corresponding known compounds and in which R_1, R_4, R_5, R_6, X and n are as defined for the formula (I), is reacted with an inorganic or organic cyanide and a compound of the formula R_3-NH_2, which is known or can be prepared in analogy to corresponding known compounds and in which R_3 is as defined for the formula (I), and in each case, if desired, a compound of the formula (II), in each case in free form or in salt form, obtainable according to the invention or in another manner, is converted into another compound of the formula (II), a mixture of isomers obtainable according to the process is separated and the desired isomer is isolated and/or a free compound of the formula (II) obtainable according to the process is converted into a salt or a salt of a compound of the formula (II) obtainable according to the process is converted into the free compound of the formula (II) or into another salt.

Suitable cyanides are sodium cyanide, potassium cyanide, trimethylsilyl cyanide and acetone cyanohydrin.

Compounds of formula (I) obtainable in accordance with the process or by another method can be converted in a manner known *per se* into different compounds of formula (I) by replacing one or more substituents of the starting compound of formula (I) by (an)other substituent(s) according to the invention in customary manner.

Depending upon the reaction conditions and starting materials selected as suitable in each case, it is possible in a reaction step to replace only one substituent by another substituent according to the invention or it is possible in the same reaction step to replace a plurality of substituents by other substituents according to the invention.

Salts of compounds of formula (I) can be prepared in a manner known *per se*. For example, acid addition salts of compounds of formula (I) are obtained by treatment with a suitable acid or a suitable ion exchange reagent and salts with bases are obtained by treatment with a suitable base or a suitable ion exchange reagent.

Salts of compounds of formula (I) can be converted in customary manner into the free compounds of formula (I); acid addition salts can be converted, for example, by treatment

with a suitable basic medium or a suitable ion exchange reagent and salts with bases, for example, by treatment with a suitable acid or a suitable ion exchange reagent.

Salts of compounds of formula (I) can be converted into different salts of compounds of formula (I) in a manner known *per se*; for example acid addition salts can be converted into different acid addition salts, for example by treatment of a salt of an inorganic acid, such as a hydrochloride, with a suitable metal salt, such as a sodium, barium or silver salt, of an acid, for example with silver acetate, in a suitable solvent in which an inorganic salt being formed, for example silver chloride, is insoluble and is therefore precipitated out from the reaction mixture.

Depending upon the procedure and/or the reaction conditions, the compounds of formula (I) having salt-forming properties can be obtained in free form or in the form of salts.

The compounds of formula (I) can also be obtained in the form of their hydrates and/or can include other solvents, for example any solvent that may have been used for the crystallisation of compounds in solid form.

The compounds of formulae (I) and (II) may be in the form of one of the possible isomers or in the form of a mixture thereof, for example depending upon the number of asymmetric carbon atoms and the absolute and relative configuration thereof, in the form of pure isomers, such as antipodes and/or diastereoisomers, or in the form of mixtures of isomers, such as mixtures of enantiomers, for example racemates, mixtures of diastereoisomers or mixtures of racemates; the invention relates both to the pure isomers and to all possible mixtures of isomers and this is to be understood accordingly hereinbefore and hereinafter, even when stereochemical details are not specifically mentioned in each case.

Mixtures of diastereoisomers and mixtures of racemates of compounds of formulae (I) and (II) obtainable in accordance with the process - depending upon the starting materials and procedures chosen – or by other means can be separated into the pure diastereoisomers or racemates in known manner on the basis of the physico-chemical differences between the constituents, for example by fractional crystallisation, distillation and/or chromatography.

Mixtures of enantiomers or racemates so obtainable can be separated into the optical antipodes by known methods, for example by recrystallisation from an optically active solvent, by chromatography on chiral adsorbents, for example high-pressure liquid chromatography (HPLC) on acetyl celluloses, with the aid of suitable microorganisms, by cleavage with

specific immobilised enzymes, or *via* the formation of inclusion compounds, for example using chiral crown ethers, in which case only one enantiomer is complexed.

Pure diastereoisomers and enantiomers can be obtained not only by separation of corresponding mixtures of isomers but also, according to the invention, by generally known methods of diastereoselective or enantioselective synthesis, for example by carrying out the process according to the invention with starting materials that have appropriate stereochemistry.

It is advantageous to isolate or synthesise whichever isomer, for example enantiomer, or mixture of isomers, for example mixture of enantiomers, is biologically more active, insofar as the individual components have different biological activity.

In the process of the present invention there are preferably used those starting materials and intermediates which result in the compounds of formula (I) described at the beginning as being especially valuable.

The invention relates especially to the preparation process described in the Example.

The invention relates also to the novel starting materials and intermediates that are used according to the invention in the preparation of compounds of formula (I), to their use and to processes for the preparation thereof.

In the area of pest control, the compounds of formula (I) according to the invention are active ingredients exhibiting valuable preventive and/or curative activity with a very advantageous biocidal spectrum, even at low rates of concentration, while being well tolerated by warm-blooded organisms, fish and plants. The compounds are especially suitable for use in the area of controlling endo- and ecto-parasites of animals and plant-destructive insects and representatives of the order Acarina. The active ingredients according to the invention are effective against all or individual development stages of normally sensitive animal pests, but also of resistant animal pests, such as insects and representatives of the order Acarina. The pesticidal activity of the active ingredients according to the invention may manifest itself directly, i.e. in the mortality of the pests, which occurs immediately or only after some time, for example during moulting, or indirectly, for example in reduced oviposition and/or hatching rate, good activity corresponding to a mortality of at least 50 to 60 %. The compounds of formula (I) are especially distinguished by an unusually long duration of action.

The said animal pests of plants include those mentioned in European Patent Application EP-A-736 252, page 5, line 55 to page 6, line 55. The pests mentioned therein are therefore included by reference in the subject matter of the present invention.

The compounds of formula (I) can also be used against pests affecting hygiene, especially of the order Diptera with the families Sarcophagidae, Anophilidae and Culicidae; and of the orders Orthoptera, Dictyoptera (e.g. the family Blattidae) and Hymenoptera (e.g. the family Formicidae).

The compounds of formula (I) also have long-lasting activity in the case of mites and insects that are parasites of plants. In the case of spider mites of the order Acarina, they are effective against eggs, nymphs and adults of Tetranychidae (Tetranychus spp. and Panonychus spp.).

They possess a high degree of activity in sucking insects of the order Homoptera, especially against pests of the families Aphididae, Delphacidae, Cicadellidae, Psyllidae, Loccidae, Diaspididae and Eriophydidae (e.g. rust mite on citrus fruit); of the orders Hemiptera, Heteroptera and Thysanoptera, and in phytophagous insects of the orders Lepidoptera, Coleoptera, Diptera and Orthoptera.

They are also suitable as a soil insecticide against pests in the soil.

The compounds according to the invention can be used to control, i.e. to inhibit or destroy, pests of the mentioned type occurring especially on plants, more especially on useful plants and ornamentals in agriculture, in horticulture and in forestry, or on parts of such plants, such as the fruits, blossoms, leaves, stems, tubers or roots, while in some cases parts of plants that grow later are still protected against those pests.

The compounds of formula (I) are therefore effective against all development stages of sucking and phytophagous insects on crops such as cereals, e.g. wheat, barley, rye, oats, rice, maize and sorghum; beet, such as sugar beet and fodder beet; fruit, e.g. pomes, stone fruit and soft fruit, such as apples, pears, plums, peaches, almonds, cherries and berries, e.g. strawberries, raspberries and blackberries; leguminous plants, such as beans, lentils, peas and soybeans; oil plants, such as rape, mustard, poppy, olives, sunflowers, coconut, castor oil, cocoa and groundnuts; cucurbitaceae, such as marrows, cucumbers and melons; fibre plants, such as cotton, flax, hemp and jute; citrus fruits, such as oranges, lemons, grapefruit and mandarins; vegetables, such as spinach, lettuce, asparagus, cabbages,

[illegible] ornamental plants such as [illegible]

camphor; and tobacco, nuts, coffee, aubergines, sugar cane, tea, pepper, vines, hops, bananas, natural rubber plants and ornamentals.

The compounds of formula (I) are also effective against plant-nematodes of the species Meloidogyne, Heterodera, Pratylenchus, Ditylenchus, Radopholus, Rizoglyphus and others.

In the context of the present invention, ectoparasites occurring as parasites on warm-blooded organisms are understood to mean especially insects, mites and ticks. Included are insects of the orders: *Lepidoptera, Coleoptera, Homoptera, Heteroptera, Diptera, Thysanoptera, Orthoptera, Anoplura, Siphonaptera, Mallophaga, Thysanura, Isoptera, Psocoptera* and *Hymenoptera*. Special mention may be made, however, of ectoparasites that trouble humans and animals and transmit pathogens, for example flies, such as *Musca domestica, Musca vetustissima, Musca autumnalis, Fannia canicularis, Sarcophaga carnaria, Lucilia cuprina, Hypoderma bovis, Hypoderma lineatum, Chrysomyia chloropyga, Dermatobia hominis, Cochliomyia hominivorax, Gasterophilus intestinalis, Oestrus ovis, Stomoxys calcitrans, Haematobia irritans* and midges *(Nematocera)*, such as *Culicidae, Simuliidae, Psychodidae*, and also bloodsucking parasites, for example fleas, such as *Ctenocephalides felis* and *Ctenocephalides canis* (cat and dog fleas), *Xenopsylla cheopis, Pulex irritans, Dermatophilus penetrans,* lice, such as *Damalina ovis, Pediculus humanis*, stable flies and horse flies *(Tabanidae), Haematopota* spp., such as *Haematopota pluvialis, Tabanidea* spp., such as *Tabanus nigrovittatus, Chrysopsinae* spp., such as *Chrysops caecutiens*, tsetse flies, such as Glossinia species, biting insects, especially cockroaches, such as *Blatella germanica, Blatta orientalis, Periplaneta americana,* mites, such as *Dermanyssus gallinae, Sarcoptes scabiei, Psoroptes ovis* and *Psorergates* spp. and, not least, ticks. The latter belong to the order Acarina. Known examples of ticks are, for example, *Boophilus, Amblyomma, Anocentor, Dermacentor, Haemaphysalis, Hyalomma, Ixodes, Rhipicentor, Margaropus, Rhipicephalus, Argas, Otobius* and *Ornithodoros* and the like, which preferentially infest warm-blooded animals, including farm animals, such as cows, pigs, sheep and goats, poultry, such as hens, turkeys and geese, animals bred for their fur, such as mink, fox, chinchillas, rabbits and the like, and domestic animals, such as cats and dogs, but also humans.

In addition, the compounds of formula (I) are especially effective against helminths, among which the endoparasitic nematodes and trematodes may be the cause of serious diseases of mammals and poultry, for example of sheep, pigs, goats, cattle, horses, donkeys, dogs, cats, guinea-pigs and ornamental birds. Typical nematodes in that indication are: Haem-

onchus, Trichostrongylus, Ostertagia, Nematodirus, Cooperia, Ascaris, Bunostonum, Oesophagostonum, Chabertia, Trichuris, Strongylus, Trichonema, Dictyocaulus, Capillaria, Heterakis, Toxocara, Ascaridia, Oxyuris, Ancylostoma, Uncinaria, Toxascaris and Parascaris. Among the trematodes special mention should be made of the family of the Fasciolideae, especially Fasciola hepatica. The special advantage of the compounds of formula (I) is their efficacy against such parasites that are resistant to benzimidazole-based active ingredients.

Certain Nematodirus, Cooperia and Oesophagostonum species attack the intestinal tract of the host animal whereas others of the species Haemonchus and Ostertagia parasitise in the stomach and those of the species Dictyocaulus parasitise in pulmonary tissue. Parasites of the families Filariidae and Setariidae are found in the internal cell tissue and the organs, for example the heart, the blood vessels, the lymph vessels and the subcutaneous tissue. In this context special mention should be made of dog heartworm, Dirofilaria immitis. The compounds of formula (I) are highly effective against those parasites.

Furthermore, the compounds of formula (I) are suitable for controlling parasites that are pathogens of humans, among which, as typical representatives occurring in the digestive tract, mention should be made of those of the species Ancylostoma, Necator, Ascaris, Strongyloides, Trichinella, Capillaria, Trichuris and Enterobius. The compounds of the present invention are also effective against parasites of the species Wuchereria, Brugia, Onchocerca and Loa from the family of the Filariidae, which occur in the blood, in tissue and various organs, and also against Dracunculus and parasites of the species Strongyloides and Trichinella, which infect especially the gastrointestinal tract.

In the area of crop protection, the compounds of formula (I) are used in unmodified form or, preferably, together with the adjuvants conventionally employed in formulation technology and can therefore be formulated in known manner e.g. into emulsifiable concentrates, directly dilutable solutions, dilute emulsions, soluble powders, granules, and also encapsulations in polymer substances. As with the nature of the compositions, the methods of application are selected in accordance with the intended objectives and the prevailing circumstances.

The invention relates also to pesticides, such as emulsifiable concentrates, suspension concentrates, directly sprayable or dilutable solutions, coatable pastes, dilute emulsions, wettable powders, soluble powders, dispersible powders, wettable powders, dusts, granules [illegible] compounds of formula (I) and at least one of the active ingredients of

tives and prevailing circumstances. They are prepared in known manner, e.g. by homogeneously mixing and/or grinding the active ingredients with extenders, for example with solvents, solid carriers, and optionally surface-active compounds (surfactants).

The active ingredient is used in those compositions in pure form: a solid active ingredient, for example, in a specific particle size, or preferably together with at least one of the adjuvants customary in formulation technology, such as extenders, for example solvents or solid carriers, or surface-active compounds (surfactants).

As formulation adjuvants there are used, for example, solid carriers, solvents, stabilisers, "slow release" adjuvants, dyes and optionally surface-active substances (surfactants). Suitable carriers and adjuvants include all those substances customarily used in crop protection products, especially in snail and slug control products. Suitable adjuvants, such as solvents, solid carriers, surface-active compounds, non-ionic surfactants, cationic surfactants, anionic surfactants and other adjuvants in the compositions used according to the invention, include e.g. those described in EP-A-736 252, page 7, line 51, to page 8, line 39. They are included by reference in the subject matter of the present invention.

The compositions for use in crop protection generally comprise from 0.1 to 99 % by weight, especially from 0.1 to 95 % by weight, of active ingredient and from 1 to 99.9 % by weight, especially from 5 to 99.9 % by weight, of at least one solid or liquid adjuvant, it generally being possible for from 0 to 25 % by weight, especially from 0.1 to 20 %, of the compositions to consist of surfactants. Whereas commercial products will preferably be formulated as concentrates, the end user will normally employ dilute formulations, which have much lower active ingredient concentrations. Preferred compositions used in crop protection have especially the following compositions (% = % by weight):

Emulsifiable concentrates:

active ingredient:	1 to 95 %, preferably 5 to 20 %
surfactant:	1 to 30 %, preferably 10 to 20 %
solvent:	5 to 98 %, preferably 70 to 85 %

Dusts:

active ingredient:	0.1 to 10 %, preferably 0.1 to 1 %
solid carrier:	99.9 to 90 %, preferably 99.9 to 99 %

Suspension concentrates:

active ingredient:	5 to 75 %, preferably 10 to 50 %
water:	94 to 24 %, preferably 88 to 30 %
surfactant:	1 to 40 %, preferably 2 to 30 %

Wettable powders:

active ingredient:	0.5 to 90 %, preferably 1 to 80 %
surfactant:	0.5 to 20 %, preferably 1 to 15 %
solid carrier:	5 to 99 %, preferably 15 to 98 %

Granules:

active ingredient:	0.5 to 30 %, preferably 3 to 15 %
solid carrier:	99.5 to 70 %, preferably 97 to 85 %

The anthelmintic compositions according to the invention for the control of animal parasites in and on warm-blooded organisms generally comprise from 0.1 to 99 % by weight, especially from 0.1 to 95 % by weight, of compound of formula (I), from 99.9 to 1 % by weight, especially from 99.8 to 5 % by weight, of a solid or liquid auxiliary, which includes from 0 to 25 % by weight, especially from 0.1 to 25 % by weight, of a surfactant.

Preferred forms of administration for use in warm-blooded organisms for controlling helminths include solutions, emulsions, suspensions (drenches), feed additives, powders, tablets including effervescent tablets, boli, capsules, micro-encapsulations and pour-on formulations, it being necessary to ensure that the formulation adjuvants are physiologically tolerable.

Suitable solvents in the use of formulations for controlling animal parasites are, for example: alcohols, e.g. ethanol, propanol or butanol, and glycols and ethers and esters thereof, e.g. propylene glycol, dipropylene glycol ether, ethylene glycol, ethylene glycol monomethyl or monoethyl ether, ketones, e.g. cyclohexanone, isophorone or diacetone alcohol, strong polar solvents, e.g. N-methyl-2-pyrrolidone, dimethyl sulfoxide or dimethylformamide or water, vegetable oils, e.g. rapeseed oil, castor oil, coconut oil or soybean oil, and also, where appropriate, silicone oils.

Suitable binders for tablets and boli are chemically modified natural polymeric substances

gelatin and the like) and synthetic polymers, e.g. polyvinyl alcohol, polyvinylpyrrolidone etc.. Tablets also comprise fillers (e.g. starch, microcrystalline cellulose, sugar, lactose etc.), lubricants and disintegrants.

When the anthelmintic compositions are in the form of feed concentrates, there are used as carriers, for example, performance feeds, feed grains or protein concentrates. Such feed concentrates or compositions can also comprise, besides the active ingredients, auxiliaries, vitamins, antibiotics, chemotherapeutic agents or other pesticides, especially bacteriostatics, fungistatics, coccidiostatics and also hormone preparations, substances having an anabolic action or substances that promote growth, that influence the quality of meat of slaughtered animals or that are useful in some other way for the organism. When the compositions or the compounds of formula (I) contained therein are added directly to the feed or drinking troughs, the final feed or drinking troughs contain the active ingredients in a concentration of preferably from 0.0005 to 0.02 % by weight (5-200 ppm).

The compounds of formula (I) according to the invention can be used alone or in combination with other biocides. For example, in order to enhance the effect they can be combined with pesticides having the same direction of action or, in order to broaden the spectrum of activity, they can be combined with substances having a different direction of action. It can also be of advantage to add so-called 'repellents'. Where it is desired to extend the spectrum of activity to endoparasites, e.g. worms, the compounds of formula (I) are advantageously combined with substances having endoparasiticidal properties. They can, of course, also be used in combination with anti-bacterial agents. Since the compounds of formula (I) are "adulticides", i.e. since they are effective especially against the mature stages of target parasites, the addition of pesticides which are more effective against the juvenile stages of the parasites may be very advantageous, since in this way the major portion of those parasites that cause large-scale economic damage will be reached, significantly contributing, moreover, to the avoidance of the formation of resistance. Some combinations may also result in synergistic effects, that is to say the overall amount of active substance used can be reduced, which is desirable from an ecological standpoint. Preferred groups of combination partners and especially preferred combination partners are mentioned hereinbelow; the combinations may comprise, in addition to a compound of formula (I), one or more of such partners.

Suitable mixing partners for use in crop protection and for use in controlling endo- and ecto-parasites on warm-blooded organisms are biocides, for example the insecticides and

acaricides mentioned hereinbelow and sufficiently known to the person skilled in the art which have a different mechanism of action, for example chitin synthesis inhibitors, growth regulators; active ingredients that act in the same manner as juvenile hormones; active ingredients that act as adulticides; broad-spectrum insecticides, broad-spectrum acaricides, and nematicides; and also the sufficiently known anthelmintics, and substances repelling insects and/or Acarina, the said repellents and detachers.

Examples of suitable insecticides and acaricides are azamethiphos; chlorfenvinphos; cypermethrin, cypermethrin high-cis; cyromazine; diafenthiuron; diazinon; dichlorvos; dicrotophos; dicyclanil; fenoxycarb; fluazuron; furathiocarb; isazofos; jodfenphos; kinoprene; lufenuron; methacriphos; methidathion; monocrotophos; phosphamidon; profenofos; diofenolan; a substance obtainable from the Bacillus thuringiensis strain GC91 or from the strain NCTC11821; pymetrozine; bromopropylate; methoprene; disulfuton; quinalphos; tau-fluvalinate; thiocyclam; thiometon; aldicarb; azinphos-methyl; benfuracarb; bifenthrin; buprofezin; carbofuran; dibutylaminothio; cartap; chlorfluazuron; chlorpyrifos; cyfluthrin; lambda-cyhalothrin; alpha-cypermethrin; zeta-cypermethrin; deltamethrin; diflubenzuron; endosulfan; ethiofencarb; fenitrothion; fenobucarb; fenvalerate; formothion; methiocarb; heptenophos; imidacloprid; isoprocarb; methamidophos; methomyl; mevinphos; parathion; parathion-methyl; phosalone; pirimicarb; propoxur; teflubenzuron; terbufos; triazamate; fenobucarb; tebufenozide; fipronil; beta-cyfluthrin; silafluofen; fenpyroximate; pyridaben; fenazaquin; pyriproxyfen; pyrimidifen; nitenpyram; acetamiprid; avermectin B_1 (abamectin); emamectin; emamectin benzoate; spinosad; a plant extract that is active against insects; a preparation comprising nematodes which is active against insects; a preparation obtainable from Bacillus subtilis; a preparation comprising fungi which is active against insects; a preparation comprising viruses which is active against insects; chlorfenapyr; acephate; acrinathrin; alanycarb; alphamethrin; amitraz; Az 60541; azinphos A; azinphos M; azocyclotin; bendiocarb; bensultap; beta-cyfluthrin; BPMC; brofenprox; bromophos A; bufencarb; butocarboxin; butylpyridaben; cadusafos; carbaryl; carbophenothion; chloethocarb; chlorethoxyfos; chlormephos; cis-resmethrin; clocythrin; clofentezine; cyanophos; cycloprothrin; cyhexatin; demeton M; demeton S; demeton-S-methyl; dichlofenthion; dicliphos; diethion; dimethoate; dimethylvinphos; dioxathion; edifenphos; esfenvalerate; ethion; ethofenprox; ethoprophos; etrimphos; fenamiphos; fenbutatin oxide; fenothiocarb; fenpropathrin; fenpyrad; fenthion; fluazinam; flucycloxuron; flucythrinate; flufenoxuron; flufenprox; fonophos; fosthiazate;

[illegible]

moxidectin; naled; NC 184; omethoate; oxamyl; oxydemeton M; oxydeprofos; permethrin; phenthoate; phorate; phosmet; phoxim; pirimiphos M; pirimiphos E; promecarb; propaphos; prothiofos; prothoate; pyrachlophos; pyrada-phenthion; pyresmethrin; pyrethrum; tebufenozide; salithion; sebufos; sulfotep; sulprofos; tebufenpyrad; tebupirimphos; tefluthrin; temephos; terbam; tetrachlorvinphos; thiacloprid; thiafenox; thiamethoxam; thiodicarb; thiofanox; thionazin; thuringiensin; tralomethrin; triarthene; triazophos; triazuron; trichlorfon; triflumuron; trimethacarb; vamidothion; xylylcarb; YI 5301/5302; zetamethrin; DPX-MP062 – indoxacarb; methoxyfenozide; bifenazate; XMC (3,5-xylyl methylcarbamate); or the fungus pathogen Metarhizium Anisopliae. The mentioned mixing partners are very well known to persons skilled in the art. Most are described in various editions of The Pesticide Manual, The British Crop Protection Council, London, while others are described in various editions of The Merck Index, Merck & Co., Inc., Rahway, New Jersey, USA, or in the patent literature.

Examples of suitable anthelmintics that can be added to the compositions are mentioned hereinbelow, a number of the examples thereof having, in addition to anthelmintic activity, also an insecticidal and acaricidal activity, some of them already being mentioned in the list above:

(A1) praziquantel = 2-cyclohexylcarbonyl-4-oxo-1,2,3,6,7,11b-hexahydro-4H-pyrazino[2,1-α]isoquinoline

(A2) closantel = 3,5-diiodo-N-[5-chloro-2-methyl-4-(a-cyano-4-chlorobenzyl)phenyl]salicylamide

(A3) triclabendazole = 5-chloro-6-(2,3-dichlorophenoxy)-2-methylthio-1H-benzimidazole

(A4) levamisol = *L*-(-)-2,3,5,6-tetrahydro-6-phenylimidazo[2,1b]thiazole

(A5) mebendazole = (5-benzoyl-1H-benzimidazol-2-yl)carbamic acid methyl ester

(A6) omphalotin = a macrocyclic fermentation product of the fungus *Omphalotus olearius* described in WO 97/20857

(A7) abamectin = avermectin B1

(A8) ivermectin = 22,23-dihydroavermectin B1

(A9) moxidectin = 5-O-demethyl-28-deoxy-25-(1,3-dimethyl-1-butenyl)-6,28-epoxy-23-(methoxyimino)-milbemycin B

(A10) doramectin = 25-cyclohexyl-5-O-demethyl-25-de(1-methylpropyl)-avermectin A1a

(A11) milbemectin = mixture of milbemycin A3 and milbemycin A4

(A12) milbemycinoxim = 5-oxime of milbemectin

Examples of suitable repelling substances (repellents and detachers) are for instance:

(R1) DEET (N,N-diethyl-m-toluamide)
(R2) KBR 3023 N-butyl-2-oxycarbonyl-(2-hydroxy)-piperidine
(R3) cymiazol = N-2,3-dihydro-3-methyl-1,3-thiazol-2-ylidene-2,4-xylidine

Given what has been said above, a further substantial aspect of the present invention relates to combination preparations for the control of parasites on warm-blooded organisms, which combination preparations comprise, in addition to a compound of formula (I), at least one further active ingredient having the same direction of action or a different direction of action and at least one physiologically tolerable carrier. The present invention is not limited to two-component combinations.

The compositions according to the invention can be administered to the animals being treated by topical, peroral, parenteral or subcutaneous means, the compositions being in the form of solutions, emulsions, suspensions (drenches), powders, tablets, boli, capsules and pour-on formulations.

The pour-on or spot-on method comprises applying the compound of formula (I) to a locally defined area of the skin or coat, advantageously on the back of the neck or the backbone of the animal. This is carried out, for example, by applying a swab or spray of the pour-on or spot-on formulation to a relatively small area of the coat from where the active ingredient becomes distributed over a wide area of the coat almost automatically as a result of the spreading constituents of the formulation assisted by the movements of the animal.

Pour-on and spot-on formulations advantageously comprise carriers that promote rapid distribution over the surface of the skin or in the coat of the host animal and are generally termed spreading oils. There are suitable, for example, oily solutions; alcoholic and iso-propanolic solutions, e.g. solutions of 2-octyl-dodecanol or oleyl alcohol; solutions in esters of monocarboxylic acids, such as isopropyl myristate, isopropyl palmitate, lauric acid oxalic ester, oleic acid oleyl ester, oleic acid decyl ester, hexyl laurate, oleyl oleate, decyl oleate, capric acid esters of saturated fatty alcohols of chain length C_{12}-C_{18}; solutions of esters of dicarboxylic acids, such as dibutyl phthalate, diisopropyl isophthalate, adipic acid diisopropyl ester, di-n-butyl adipate or solutions of esters of aliphatic acids, e.g. glycols. It may be advantageous for a dispersant known from the pharmaceutical or cosmetic industry also to be present. Examples are 2-pyrrolidone, 2-(N-alkyl)pyrrolidone, acetone, polyethylene glycol and its ethers and esters, propylene glycol or synthetic triglycerides.

The oily solutions include e.g. vegetable oils, such as olive oil, groundnut oil, sesame oil, pine oil, linseed oil and castor oil. The vegetable oils may also be in epoxidised form. It is also possible to use paraffins and silicone oils.

Generally a pour-on or spot-on formulation will contain from 1 to 20 % by weight of a compound of formula (I), from 0.1 to 50 % by weight dispersant and from 45 to 98.9 % by weight solvent.

The pour-on or spot-on method can be used especially advantageously for herd animals, such as cattle, horses, sheep and pigs, where it is difficult or time-consuming to treat all the animals orally or *via* injection. By virtue of its simplicity, this method can of course also be used for all other animals, including individual domestic animals and pets, and is very popular with the keepers of the animals because it can often be carried out without the expert assistance of a veterinary surgeon.

Whereas commercial products will preferably be formulated as concentrates, the end user will normally employ dilute formulations.

Such formulations may also comprise further ingredients, such as stabilisers, antifoams, viscosity regulators, binders and tackifiers as well as other active ingredients for obtaining special effects.

The present invention relates also to such anthelmintic compositions employed by the end user.

In each of the methods according to the invention for controlling pests and in each of the pesticidal compositions according to the invention, the active ingredients of formula (I) can be used in any of their spatial configurations or mixtures thereof.

The invention also encompasses a method for the prophylactic protection of warm-blooded organisms, especially of productive livestock, domestic animals and pets, against parasitic helminths, which method comprises administering to the animals the active ingredient of formula (I) or active ingredient formulations prepared therefrom as an additive to the feed or to the drinking troughs or in solid or liquid form orally, by injection or parenterally. The invention also encompasses the compounds of formula (I) according to the invention for use in one of the mentioned methods.

The Examples that follow serve merely to illustrate the invention without limiting the invention, the expression 'active ingredient' denoting one of the substances listed in the Tables.

Preferred formulations for use in the control of parasites on warm-blooded organisms have the following compositions: (% = % by weight)

1. Granules	a)	b)
active ingredient from Tables 1 or 2	5 %	10 %
kaolin	94 %	-
highly disperse silicic acid	1 %	-
attapulgite	-	90 %

The active ingredient is dissolved in methylene chloride and sprayed onto the carrier, and the solvent is then evaporated off *in vacuo*. Such granules can be mixed into the animal feed.

2. Granules	
active ingredient from Tables 1 or 2	3 %
polyethylene glycol (MW 200)	3 %
kaolin	94 %

(MW = molecular weight)

The finely ground active ingredient is uniformly applied, in a mixer, to the kaolin moistened with polyethylene glycol. Non-dusty coated granules are obtained in this manner.

3. Tablets and boli

I	active ingredient from Tables 1 or 2	33.00 %
	methylcellulose	0.80 %
	highly disperse silicic acid	0.80 %
	maize starch	8.40 %
II	crystalline lactose	22.50 %
	maize starch	17.00 %
	microcrystalline cellulose	16.50 %
	magnesium stearate	1.00 %

I Methylcellulose is stirred into water. After the material has swelled, silicic acid is stirred in and the mixture is homogeneously suspended. The active ingredient and maize starch are mixed. The aqueous suspension is incorporated into the resulting mixture and kneaded to a dough. The mass thereby obtained is granulated through a 12 M sieve and dried.

III The premixes obtained according to I and II are mixed and compressed into tablets or boli.

4. Injectable formulations

A. Oily vehicle (slow release)

1. active ingredient from Tables 1 or 2	0.1-1.0 g
groundnut oil	ad 100 ml
2. active ingredient from Tables 1 or 2	0.1-1.0 g
sesame oil	ad 100 ml

Preparation: The active ingredient is dissolved in a portion of the oil with stirring and optionally with gentle heating, and after cooling the solution is made up to the desired volume and sterile-filtered through a suitable 0.22 micron membrane filter.

B. Water-miscible solvent (medium rate of release)

active ingredient from Tables 1 or 2	0.1-1.0 g
4-hydroxymethyl-1,3-dioxolane (glycerol formal)	40 g
1,2-propanediol	ad 100 ml
an active ingredient from Table 1	0.1-1.0 g
glycerol dimethyl ketal	40 g
1,2-propanediol	ad 100 ml

Preparation: The active ingredient is dissolved in a portion of the solvent with stirring, and the solution is made up to the desired volume and sterile-filtered through a suitable 0.22 micron membrane filter.

C. Aqueous solubilisate (rapid release)

1. active ingredient from Tables 1 or 2	0.1-1.0 g
polyethoxylated castor oil (40 ethylene oxide units)	10 g
1,2-propanediol	20 g
benzyl alcohol	1 g
aqua ad inject.	ad 100 ml
2. active ingredient from Tables 1 or 2	0.1-1.0 g
polyethoxylated sorbitan monooleate (20 ethylene oxide units)	8 g
4-hydroxymethyl-1,3-dioxolane (glycerol formal)	20 g
benzyl alcohol	1 g
aqua ad inject.	ad 100 ml

Preparation: The active ingredient is dissolved in the solvents and the surfactant, and the solution is made up to the desired volume with water. Sterile-filtration is then carried out through a suitable membrane filter of 0.22 micron pore diameter.

5. Pour-on

A.

active ingredient from Tables 1 or 2	5 g
isopropyl myristate	10 g
isopropanol	ad 100 ml

B.

active ingredient from Tables 1 or 2	2 g
hexyl laurate	5 g
medium-chain triglycerides	15 g
ethanol	ad 100 ml

C.

active ingredient from Tables 1 or 2	2 g
oleyl oleate	5 g
N-methyl-pyrrolidone	40 g
isopropanol	ad 100 ml

The aqueous systems may preferably be used also for oral and/or intraruminal administration.

The compositions may also comprise further ingredients such as stabilisers, e.g. vegetable oils and epoxidised vegetable oils (epoxidised coconut oil, rapeseed oil or soybean oil), antifoams, e.g. silicone oil, preservatives, viscosity regulators, binders, tackifiers and fertilisers as well as other active ingredients for obtaining special effects.

It is also possible to add to the described compositions further biologically active substances or additives that have neutral behaviour towards the compounds of formula (I) and have no adverse effect on the host animal to be treated, and also mineral salts or vitamins.

The compositions according to the invention are prepared in known manner, in the absence of adjuvants, for example by grinding, sieving and/or compressing a solid active ingredient or mixture of active ingredients, for example to a specific particle size, or in the presence of at least one adjuvant, for example by intimately mixing and/or grinding the active ingredient or

processes for the preparation of the compositions according to the invention and to the use of the compounds of the formula (I) in the preparation of those compositions.

The invention relates also to the methods of application of the compositions, i.e. the methods of controlling pests of the mentioned type, such as spraying, atomising, dusting, coating, dressing, scattering or pouring, which are selected in accordance with the intended objectives and prevailing circumstances, and to the use of the compositions for controlling pests of the mentioned type. Typical rates of concentration are from 0.1 to 1000 ppm, preferably from 0.1 to 500 ppm, of active ingredient. The rates of application per hectare are generally from 1 to 2000 g of active ingredient per hectare, especially from 10 to 1000 g/ha, preferably from 20 to 600 g/ha.

A preferred method of application in the area of crop protection is application to the foliage of the plants (foliar application), the number of applications and the rate of application depending on the risk of infestation by the pest in question. However, the active ingredient can also penetrate the plants through the roots (systemic action) if the locus of the plants is impregnated with a liquid formulation or if the active ingredient is incorporated in solid form into the locus of the plants, for example into the soil, e.g. in granular form (soil application). In paddy rice crops, such granules may be applied in metered amounts to the flooded rice field.

The compositions according to the invention are also suitable for protecting plant propagation material, including genetically modified propagation material, e.g. seed material, such as fruit, tubers or grains, or plant cuttings, from animal pests. The propagation material can be treated with the formulation before planting: seed, for example, can be dressed before being sown. The compounds according to the invention can also be applied to grains (coating), either by impregnating the grains with a liquid formulation or by coating them with a solid formulation. The formulation can also be applied to the planting site when the propagation material is being planted, for example to the seed furrow during sowing. The invention relates also to those methods of treating plant propagation material and to the plant propagation material thus treated.

The following Examples serve to illustrate the invention. They do not limit the invention. The symbol 'h' stands for 'hour'.

Preparation examples

Preparation of N-(1-cyano-1-[2,3-dichlorophenoxymethyl]ethyl)-C-phenylmethanesulphonamide

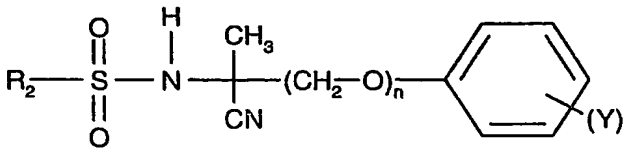
a) A mixture of 5 g of 2,3-dichlorophenol, 4 g of 2-chloroacetone, 4.7 g of anhydrous potassium carbonate and 450 mg of potassium iodide is refluxed in 50 ml of acetone for 6 h, then cooled to room temperature and filtered. The filtrate is evaporated. 1-(2,3-Dichloro-phenoxy)acetone is obtained in this way.

b) 6.5 g of 1-(2,3-dichlorophenoxy)acetone, 1.76 g of sodium cyanide and 2.4 g of ammonium chloride are added to a solution of 30 ml of 25% ammonia and the mixture is stirred at room temperature for 24 h. The crude product is then extracted from the mixture using ethyl acetate, and the organic phase is separated off, washed with water and saturated sodium chloride solution, dried using magnesium sulphate and evaporated. 2-Amino-3-(2,3-dichlorophenoxy)-2-methylpropionitrile is thus obtained.

c) 5.2 g of α-toluenesulphonyl chloride are added to a mixture of 6.6 g of 2-amino-3-(2,3-dichlorophenoxy)-2-methylpropionitrile and 4.86 g of ethyldiisopropylamines in 50 ml of methylene chloride and the mixture is stirred at room temperature for 24 h. The crude product is then extracted from the mixture with ethyl acetate, and the organic phase is separated off, washed with aqueous sodium bicarbonate and saturated sodium chloride solution, dried using magnesium sulphate and evaporated. The residue is purified by high-pressure column chromatography on silica gel using hexane/ethyl acetate (2:1). The title compound is thus obtained.

The substances mentioned in the table below can also be prepared analogously to the procedures described above. The values of the melting points are indicated in °C.

Table 1



No.	R_2	n	(Y)	phys. Data
1.1	CH_3	0	H	

No.	R_2	n	(Y)	phys. Data
1.3	CH_3	0	3-F	
1.4	CH_3	0	4-F	
1.5	CH_3	0	2-Cl	
1.6	CH_3	0	3-Cl	
1.7	CH_3	0	4-Cl	
1.8	CH_3	0	2,3-Cl_2	
1.9	CH_3	0	2,4-Cl_2	
1.10	CH_3	0	2,5-Cl_2	
1.11	CH_3	0	2,6-Cl_2	
1.12	CH_3	0	3,4-Cl_2	
1.13	CH_3	0	3,5-Cl_2	
1.14	CH_3	0	3-CF_3	
1.15	CH_3	0	4-CF_3	
1.16	CH_3	1	H	
1.17	CH_3	1	2-F	
1.18	CH_3	1	3-F	
1.19	CH_3	1	4-F	
1.20	CH_3	1	2-Cl	
1.21	CH_3	1	3-Cl	
1.22	CH_3	1	4-Cl	
1.23	CH_3	1	2,3-Cl_2	
1.24	CH_3	1	2,4-Cl_2	
1.25	CH_3	1	2,5-Cl_2	
1.26	CH_3	1	2,6-Cl_2	
1.27	CH_3	1	3,4-Cl_2	
1.28	CH_3	1	3,5-Cl_2	
1.29	CH_3	1	3-CF_3	
1.30	CH_3	1	4-CF_3	
1.31	C_2H_5	0	H	
1.32	C_2H_5	0	2-F	
1.33	C_2H_5	0	3-F	
1.34	C_2H_5	0	4-F	

No.	R_2	n	(Y)	phys. Data
1.35	C_2H_5	0	2-Cl	
1.36	C_2H_5	0	3-Cl	
1.37	C_2H_5	0	4-Cl	
1.38	C_2H_5	0	2,3-Cl_2	
1.39	C_2H_5	0	2,4-Cl_2	
1.40	C_2H_5	0	2,5-Cl_2	
1.41	C_2H_5	0	2,6-Cl_2	
1.42	C_2H_5	0	3,4-Cl_2	
1.43	C_2H_5	0	3,5-Cl_2	
1.44	C_2H_5	0	3-CF_3	
1.45	C_2H_5	0	4-CF_3	
1.46	C_2H_5	1	H	
1.47	C_2H_5	1	2-F	
1.48	C_2H_5	1	3-F	
1.49	C_2H_5	1	4-F	
1.50	C_2H_5	1	2-Cl	
1.51	C_2H_5	1	3-Cl	
1.52	C_2H_5	1	4-Cl	
1.53	C_2H_5	1	2,3-Cl_2	
1.54	C_2H_5	1	2,4-Cl_2	
1.55	C_2H_5	1	2,5-Cl_2	
1.56	C_2H_5	1	2,6-Cl_2	
1.57	C_2H_5	1	3,4-Cl_2	
1.58	C_2H_5	1	3,5-Cl_2	
1.59	C_2H_5	1	3-CF_3	
1.60	C_2H_5	1	4-CF_3	
1.61	n-C_3H_7	0	H	
1.62	n-C_3H_7	0	2-F	
1.63	n-C_3H_7	0	3-F	
1.64	n-C_3H_7	0	4-F	
1.65	n-C_3H_7	0	2-Cl	
[illegible]	[illegible]	[illegible]	[illegible]	

No.	R_2	n	(Y)	phys. Data
1.67	n-C_3H_7	0	4-Cl	
1.68	n-C_3H_7	0	2,3-Cl_2	
1.69	n-C_3H_7	0	2,4-Cl_2	
1.70	n-C_3H_7	0	2,5-Cl_2	
1.71	n-C_3H_7	0	2,6-Cl_2	
1.72	n-C_3H_7	0	3,4-Cl_2	
1.73	n-C_3H_7	0	3,5-Cl_2	
1.74	n-C_3H_7	0	3-CF_3	
1.75	n-C_3H_7	0	4-CF_3	
1.76	n-C_3H_7	1	H	
1.77	n-C_3H_7	1	2-F	
1.78	n-C_3H_7	1	3-F	
1.79	n-C_3H_7	1	4-F	
1.80	n-C_3H_7	1	2-Cl	
1.81	n-C_3H_7	1	3-Cl	
1.82	n-C_3H_7	1	4-Cl	
1.83	n-C_3H_7	1	2,3-Cl_2	
1.84	n-C_3H_7	1	2,4-Cl_2	
1.85	n-C_3H_7	1	2,5-Cl_2	
1.86	n-C_3H_7	1	2,6-Cl_2	
1.87	n-C_3H_7	1	3,4-Cl_2	
1.88	n-C_3H_7	1	3,5-Cl_2	
1.89	n-C_3H_7	1	3-CF_3	
1.90	n-C_3H_7	1	4-CF_3	
1.91	n-C_4H_9	0	H	
1.92	n-C_4H_9	0	2-F	
1.93	n-C_4H_9	0	3-F	
1.94	n-C_4H_9	0	4-F	
1.95	n-C_4H_9	0	2-Cl	
1.96	n-C_4H_9	0	3-Cl	
1.97	n-C_4H_9	0	4-Cl	
1.98	n-C_4H_9	0	2,3-Cl_2	

No.	R_2	n	(Y)	phys. Data
1.99	n-C_4H_9	0	2,4-Cl_2	
1.100	n-C_4H_9	0	2,5-Cl_2	
1.101	n-C_4H_9	0	2,6-Cl_2	
1.102	n-C_4H_9	0	3,4-Cl_2	
1.103	n-C_4H_9	0	3,5-Cl_2	
1.104	n-C_4H_9	0	3-CF_3	
1.105	n-C_4H_9	0	4-CF_3	
1.106	n-C_4H_9	1	H	
1.107	n-C_4H_9	1	2-F	
1.108	n-C_4H_9	1	3-F	
1.109	n-C_4H_9	1	4-F	
1.110	n-C_4H_9	1	2-Cl	
1.111	n-C_4H_9	1	3-Cl	
1.112	n-C_4H_9	1	4-Cl	
1.113	n-C_4H_9	1	2,3-Cl_2	
1.114	n-C_4H_9	1	2,4-Cl_2	
1.115	n-C_4H_9	1	2,5-Cl_2	
1.116	n-C_4H_9	1	2,6-Cl_2	
1.117	n-C_4H_9	1	3,4-Cl_2	
1.118	n-C_4H_9	1	3,5-Cl_2	
1.119	n-C_4H_9	1	3-CF_3	
1.120	n-C_4H_9	1	4-CF_3	
1.121	$CH_2C_6H_5$	0	H	
1.122	$CH_2C_6H_5$	0	2-F	
1.123	$CH_2C_6H_5$	0	3-F	
1.124	$CH_2C_6H_5$	0	4-F	
1.125	$CH_2C_6H_5$	0	2-Cl	
1.126	$CH_2C_6H_5$	0	3-Cl	
1.127	$CH_2C_6H_5$	0	4-Cl	
1.128	$CH_2C_6H_5$	0	2,3-Cl_2	
1.129	$CH_2C_6H_5$	0	2,4-Cl_2	

No.	R_2	n	(Y)	phys. Data
1.131	$CH_2C_6H_5$	0	2,6-Cl_2	
1.132	$CH_2C_6H_5$	0	3,4-Cl_2	
1.133	$CH_2C_6H_5$	0	3,5-Cl_2	
1.134	$CH_2C_6H_5$	0	3-CF_3	
1.135	$CH_2C_6H_5$	0	4-CF_3	
1.136	$CH_2C_6H_5$	1	H	
1.137	$CH_2C_6H_5$	1	2-F	
1.138	$CH_2C_6H_5$	1	3-F	
1.139	$CH_2C_6H_5$	1	4-F	
1.140	$CH_2C_6H_5$	1	2-Cl	
1.141	$CH_2C_6H_5$	1	3-Cl	
1.142	$CH_2C_6H_5$	1	4-Cl	
1.143	$CH_2C_6H_5$	1	2,3-Cl_2	
1.144	$CH_2C_6H_5$	1	2,4-Cl_2	
1.145	$CH_2C_6H_5$	1	2,5-Cl_2	
1.146	$CH_2C_6H_5$	1	2,6-Cl_2	
1.147	$CH_2C_6H_5$	1	3,4-Cl_2	
1.148	$CH_2C_6H_5$	1	3,5-Cl_2	
1.149	$CH_2C_6H_5$	1	3-CF_3	
1.150	$CH_2C_6H_5$	1	4-CF_3	
1.151	C_6H_5	0	H	
1.152	C_6H_5	0	2-F	
1.153	C_6H_5	0	3-F	
1.154	C_6H_5	0	4-F	
1.155	C_6H_5	0	2-Cl	
1.156	C_6H_5	0	3-Cl	
1.157	C_6H_5	0	4-Cl	
1.158	C_6H_5	0	2,3-Cl_2	
1.159	C_6H_5	0	2,4-Cl_2	
1.160	C_6H_5	0	2,5-Cl_2	
1.161	C_6H_5	0	2,6-Cl_2	
1.162	C_6H_5	0	3,4-Cl_2	

No.	R_2	n	(Y)	phys. Data
1.163	C_6H_5	0	3,5-Cl_2	
1.164	C_6H_5	0	3-CF_3	
1.165	C_6H_5	0	4-CF_3	
1.166	C_6H_5	1	H	
1.167	C_6H_5	1	2-F	
1.168	C_6H_5	1	3-F	
1.169	C_6H_5	1	4-F	
1.170	C_6H_5	1	2-Cl	
1.171	C_6H_5	1	3-Cl	
1.172	C_6H_5	1	4-Cl	
1.173	C_6H_5	1	2,3-Cl_2	
1.174	C_6H_5	1	2,4-Cl_2	
1.175	C_6H_5	1	2,5-Cl_2	
1.176	C_6H_5	1	2,6-Cl_2	
1.177	C_6H_5	1	3,4-Cl_2	
1.178	C_6H_5	1	3,5-Cl_2	
1.179	C_6H_5	1	3-CF_3	
1.180	C_6H_5	1	4-CF_3	
1.181	C_6H_4-4-CH_3	0	H	
1.182	C_6H_4-4-CH_3	0	2-F	
1.183	C_6H_4-4-CH_3	0	3-F	
1.184	C_6H_4-4-CH_3	0	4-F	
1.185	C_6H_4-4-CH_3	0	2-Cl	
1.186	C_6H_4-4-CH_3	0	3-Cl	
1.187	C_6H_4-4-CH_3	0	4-Cl	
1.188	C_6H_4-4-CH_3	0	2,3-Cl_2	
1.189	C_6H_4-4-CH_3	0	2,4-Cl_2	
1.190	C_6H_4-4-CH_3	0	2,5-Cl_2	
1.191	C_6H_4-4-CH_3	0	2,6-Cl_2	
1.192	C_6H_4-4-CH_3	0	3,4-Cl_2	
1.193	C_6H_4-4-CH_3	0	3,5-Cl_2	

No.	R_2	n	(Y)	phys. Data
1.195	C_6H_4-4-CH_3	0	4-CF_3	
1.196	C_6H_4-4-CH_3	1	H	
1.197	C_6H_4-4-CH_3	1	2-F	
1.198	C_6H_4-4-CH_3	1	3-F	
1.199	C_6H_4-4-CH_3	1	4-F	
1.200	C_6H_4-4-CH_3	1	2-Cl	
1.201	C_6H_4-4-CH_3	1	3-Cl	
1.202	C_6H_4-4-CH_3	1	4-Cl	
1.203	C_6H_4-4-CH_3	1	2,3-Cl_2	
1.204	C_6H_4-4-CH_3	1	2,4-Cl_2	
1.205	C_6H_4-4-CH_3	1	2,5-Cl_2	
1.206	C_6H_4-4-CH_3	1	2,6-Cl_2	
1.207	C_6H_4-4-CH_3	1	3,4-Cl_2	
1.208	C_6H_4-4-CH_3	1	3,5-Cl_2	
1.209	C_6H_4-4-CH_3	1	3-CF_3	
1.210	C_6H_4-4-CH_3	1	4-CF_3	
1.211	C_6H_4-4-F	0	H	
1.212	C_6H_4-4-F	0	2-F	
1.213	C_6H_4-4-F	0	3-F	
1.214	C_6H_4-4-F	0	4-F	
1.215	C_6H_4-4-F	0	2-Cl	
1.216	C_6H_4-4-F	0	3-Cl	
1.217	C_6H_4-4-F	0	4-Cl	
1.218	C_6H_4-4-F	0	2,3-Cl_2	
1.219	C_6H_4-4-F	0	2,4-Cl_2	
1.220	C_6H_4-4-F	0	2,5-Cl_2	
1.221	C_6H_4-4-F	0	2,6-Cl_2	
1.222	C_6H_4-4-F	0	3,4-Cl_2	
1.223	C_6H_4-4-F	0	3,5-Cl_2	
1.224	C_6H_4-4-F	0	3-CF_3	
1.225	C_6H_4-4-F	0	4-CF_3	
1.226	C_6H_4-4-F	1	H	

No.	R_2	n	(Y)	phys. Data
1.227	C_6H_4-4-F	1	2-F	
1.228	C_6H_4-4-F	1	3-F	
1.229	C_6H_4-4-F	1	4-F	
1.230	C_6H_4-4-F	1	2-Cl	
1.231	C_6H_4-4-F	1	3-Cl	
1.232	C_6H_4-4-F	1	4-Cl	
1.233	C_6H_4-4-F	1	2,3-Cl_2	
1.234	C_6H_4-4-F	1	2,4-Cl_2	
1.235	C_6H_4-4-F	1	2,5-Cl_2	
1.236	C_6H_4-4-F	1	2,6-Cl_2	
1.237	C_6H_4-4-F	1	3,4-Cl_2	
1.238	C_6H_4-4-F	1	3,5-Cl_2	
1.239	C_6H_4-4-F	1	3-CF_3	
1.240	C_6H_4-4-F	1	4-CF_3	
1.241	C_6H_4-4-Cl	0	H	
1.242	C_6H_4-4-Cl	0	2-F	
1.243	C_6H_4-4-Cl	0	3-F	
1.244	C_6H_4-4-Cl	0	4-F	
1.245	C_6H_4-4-Cl	0	2-Cl	
1.246	C_6H_4-4-Cl	0	3-Cl	
1.247	C_6H_4-4-Cl	0	4-Cl	
1.248	C_6H_4-4-Cl	0	2,3-Cl_2	
1.249	C_6H_4-4-Cl	0	2,4-Cl_2	
1.250	C_6H_4-4-Cl	0	2,5-Cl_2	
1.251	C_6H_4-4-Cl	0	2,6-Cl_2	
1.252	C_6H_4-4-Cl	0	3,4-Cl_2	
1.253	C_6H_4-4-Cl	0	3,5-Cl_2	
1.254	C_6H_4-4-Cl	0	3-CF_3	
1.255	C_6H_4-4-Cl	0	4-CF_3	
1.256	C_6H_4-4-Cl	1	H	
1.257	C_6H_4-4-Cl	1	2-F	
1.258				

No.	R_2	n	(Y)	phys. Data
1.259	C_6H_4-4-Cl	1	4-F	
1.260	C_6H_4-4-Cl	1	2-Cl	
1.261	C_6H_4-4-Cl	1	3-Cl	
1.262	C_6H_4-4-Cl	1	4-Cl	
1.263	C_6H_4-4-Cl	1	2,3-Cl_2	
1.264	C_6H_4-4-Cl	1	2,4-Cl_2	
1.265	C_6H_4-4-Cl	1	2,5-Cl_2	
1.266	C_6H_4-4-Cl	1	2,6-Cl_2	
1.267	C_6H_4-4-Cl	1	3,4-Cl_2	
1.268	C_6H_4-4-Cl	1	3,5-Cl_2	
1.269	C_6H_4-4-Cl	1	3-CF_3	
1.270	C_6H_4-4-Cl	1	4-CF_3	
1.271	C_6H_4-4-Br	0	H	
1.272	C_6H_4-4-Br	0	2-F	
1.273	C_6H_4-4-Br	0	3-F	
1.274	C_6H_4-4-Br	0	4-F	
1.275	C_6H_4-4-Br	0	2-Cl	
1.276	C_6H_4-4-Br	0	3-Cl	
1.277	C_6H_4-4-Br	0	4-Cl	
1.278	C_6H_4-4-Br	0	2,3-Cl_2	
1.279	C_6H_4-4-Br	0	2,4-Cl_2	
1.280	C_6H_4-4-Br	0	2,5-Cl_2	
1.281	C_6H_4-4-Br	0	2,6-Cl_2	
1.282	C_6H_4-4-Br	0	3,4-Cl_2	
1.283	C_6H_4-4-Br	0	3,5-Cl_2	
1.284	C_6H_4-4-Br	0	3-CF_3	
1.285	C_6H_4-4-Br	0	4-CF_3	
1.286	C_6H_4-4-Br	1	H	
1.287	C_6H_4-4-Br	1	2-F	
1.288	C_6H_4-4-Br	1	3-F	
1.289	C_6H_4-4-Br	1	4-F	
1.290	C_6H_4-4-Br	1	2-Cl	

No.	R_2	n	(Y)	phys. Data
1.291	C_6H_4-4-Br	1	3-Cl	
1.292	C_6H_4-4-Br	1	4-Cl	
1.293	C_6H_4-4-Br	1	2,3-Cl_2	
1.294	C_6H_4-4-Br	1	2,4-Cl_2	
1.295	C_6H_4-4-Br	1	2,5-Cl_2	
1.296	C_6H_4-4-Br	1	2,6-Cl_2	
1.297	C_6H_4-4-Br	1	3,4-Cl_2	
1.298	C_6H_4-4-Br	1	3,5-Cl_2	
1.299	C_6H_4-4-Br	1	3-CF_3	
1.300	C_6H_4-4-Br	1	4-CF_3	
1.301	C_6H_4-4-OCH_3	0	H	
1.302	C_6H_4-4-OCH_3	0	2-F	
1.303	C_6H_4-4-OCH_3	0	3-F	
1.304	C_6H_4-4-OCH_3	0	4-F	
1.305	C_6H_4-4-OCH_3	0	2-Cl	
1.306	C_6H_4-4-OCH_3	0	3-Cl	
1.307	C_6H_4-4-OCH_3	0	4-Cl	
1.308	C_6H_4-4-OCH_3	0	2,3-Cl_2	
1.309	C_6H_4-4-OCH_3	0	2,4-Cl_2	
1.310	C_6H_4-4-OCH_3	0	2,5-Cl_2	
1.311	C_6H_4-4-OCH_3	0	2,6-Cl_2	
1.312	C_6H_4-4-OCH_3	0	3,4-Cl_2	
1.313	C_6H_4-4-OCH_3	0	3,5-Cl_2	
1.314	C_6H_4-4-OCH_3	0	3-CF_3	
1.315	C_6H_4-4-OCH_3	0	4-CF_3	
1.316	C_6H_4-4-OCH_3	1	H	
1.317	C_6H_4-4-OCH_3	1	2-F	
1.318	C_6H_4-4-OCH_3	1	3-F	
1.319	C_6H_4-4-OCH_3	1	4-F	
1.320	C_6H_4-4-OCH_3	1	2-Cl	
1.321	C_6H_4-4-OCH_3	1	3-Cl	
1.322	C_6H_4-4-OCH_3	1	4-Cl	

No.	R_2	n	(Y)	phys. Data
1.323	C_6H_4-4-OCH_3	1	2,3-Cl_2	
1.324	C_6H_4-4-OCH_3	1	2,4-Cl_2	
1.325	C_6H_4-4-OCH_3	1	2,5-Cl_2	
1.326	C_6H_4-4-OCH_3	1	2,6-Cl_2	
1.327	C_6H_4-4-OCH_3	1	3,4-Cl_2	
1.328	C_6H_4-4-OCH_3	1	3,5-Cl_2	
1.329	C_6H_4-4-OCH_3	1	3-CF_3	
1.330	C_6H_4-4-OCH_3	1	4-CF_3	
1.331	C_6H_4-2-CF_3	0	H	
1.332	C_6H_4-2-CF_3	0	2-F	
1.333	C_6H_4-2-CF_3	0	3-F	
1.334	C_6H_4-2-CF_3	0	4-F	
1.335	C_6H_4-2-CF_3	0	2-Cl	
1.336	C_6H_4-2-CF_3	0	3-Cl	
1.337	C_6H_4-2-CF_3	0	4-Cl	
1.338	C_6H_4-2-CF_3	0	2,3-Cl_2	
1.339	C_6H_4-2-CF_3	0	2,4-Cl_2	
1.340	C_6H_4-2-CF_3	0	2,5-Cl_2	
1.341	C_6H_4-2-CF_3	0	2,6-Cl_2	
1.342	C_6H_4-2-CF_3	0	3,4-Cl_2	
1.343	C_6H_4-2-CF_3	0	3,5-Cl_2	
1.344	C_6H_4-2-CF_3	0	3-CF_3	
1.345	C_6H_4-2-CF_3	0	4-CF_3	
1.346	C_6H_4-2-CF_3	1	H	
1.347	C_6H_4-2-CF_3	1	2-F	
1.348	C_6H_4-2-CF_3	1	3-F	
1.349	C_6H_4-2-CF_3	1	4-F	
1.350	C_6H_4-2-CF_3	1	2-Cl	
1.351	C_6H_4-2-CF_3	1	3-Cl	
1.352	C_6H_4-2-CF_3	1	4-Cl	
1.353	C_6H_4-2-CF_3	1	2,3-Cl_2	
1.354	C_6H_4-2-CF_3	1	2,4-Cl_2	

No.	R_2	n	(Y)	phys. Data
1.355	C_6H_4-2-CF_3	1	2,5-Cl_2	
1.356	C_6H_4-2-CF_3	1	2,6-Cl_2	
1.357	C_6H_4-2-CF_3	1	3,4-Cl_2	
1.358	C_6H_4-2-CF_3	1	3,5-Cl_2	
1.359	C_6H_4-2-CF_3	1	3-CF_3	
1.360	C_6H_4-2-CF_3	1	4-CF_3	
1.361	C_6H_4-3-CF_3	0	H	
1.362	C_6H_4-3-CF_3	0	2-F	
1.363	C_6H_4-3-CF_3	0	3-F	
1.364	C_6H_4-3-CF_3	0	4-F	
1.365	C_6H_4-3-CF_3	0	2-Cl	
1.366	C_6H_4-3-CF_3	0	3-Cl	
1.367	C_6H_4-3-CF_3	0	4-Cl	
1.368	C_6H_4-3-CF_3	0	2,3-Cl_2	
1.369	C_6H_4-3-CF_3	0	2,4-Cl_2	
1.370	C_6H_4-3-CF_3	0	2,5-Cl_2	
1.371	C_6H_4-3-CF_3	0	2,6-Cl_2	
1.372	C_6H_4-3-CF_3	0	3,4-Cl_2	
1.373	C_6H_4-3-CF_3	0	3,5-Cl_2	
1.374	C_6H_4-3-CF_3	0	3-CF_3	
1.375	C_6H_4-3-CF_3	0	4-CF_3	
1.376	C_6H_4-3-CF_3	1	H	
1.377	C_6H_4-3-CF_3	1	2-F	
1.378	C_6H_4-3-CF_3	1	3-F	
1.379	C_6H_4-3-CF_3	1	4-F	
1.380	C_6H_4-3-CF_3	1	2-Cl	
1.381	C_6H_4-3-CF_3	1	3-Cl	
1.382	C_6H_4-3-CF_3	1	4-Cl	
1.383	C_6H_4-3-CF_3	1	2,3-Cl_2	
1.384	C_6H_4-3-CF_3	1	2,4-Cl_2	
1.385	C_6H_4-3-CF_3	1	2,5-Cl_2	
[illegible]	[illegible]	[illegible]	[illegible]	

No.	R_2	n	(Y)	phys. Data
1.387	C_6H_4-3-CF_3	1	3,4-Cl_2	
1.388	C_6H_4-3-CF_3	1	3,5-Cl_2	
1.389	C_6H_4-3-CF_3	1	3-CF_3	
1.390	C_6H_4-3-CF_3	1	4-CF_3	
1.391	C_6H_4-4-CF_3	0	H	
1.392	C_6H_4-4-CF_3	0	2-F	
1.393	C_6H_4-4-CF_3	0	3-F	
1.394	C_6H_4-4-CF_3	0	4-F	
1.395	C_6H_4-4-CF_3	0	2-Cl	
1.396	C_6H_4-4-CF_3	0	3-Cl	
1.397	C_6H_4-4-CF_3	0	4-Cl	
1.398	C_6H_4-4-CF_3	0	2,3-Cl_2	
1.399	C_6H_4-4-CF_3	0	2,4-Cl_2	
1.400	C_6H_4-4-CF_3	0	2,5-Cl_2	
1.401	C_6H_4-4-CF_3	0	2,6-Cl_2	
1.402	C_6H_4-4-CF_3	0	3,4-Cl_2	
1.403	C_6H_4-4-CF_3	0	3,5-Cl_2	
1.404	C_6H_4-4-CF_3	0	3-CF_3	
1.405	C_6H_4-4-CF_3	0	4-CF_3	
1.406	C_6H_4-4-CF_3	1	H	
1.407	C_6H_4-4-CF_3	1	2-F	
1.408	C_6H_4-4-CF_3	1	3-F	
1.409	C_6H_4-4-CF_3	1	4-F	
1.410	C_6H_4-4-CF_3	1	2-Cl	
1.411	C_6H_4-4-CF_3	1	3-Cl	
1.412	C_6H_4-4-CF_3	1	4-Cl	
1.413	C_6H_4-4-CF_3	1	2,3-Cl_2	
1.414	C_6H_4-4-CF_3	1	2,4-Cl_2	
1.415	C_6H_4-4-CF_3	1	2,5-Cl_2	
1.416	C_6H_4-4-CF_3	1	2,6-Cl_2	
1.417	C_6H_4-4-CF_3	1	3,4-Cl_2	
1.418	C_6H_4-4-CF_3	1	3,5-Cl_2	

No.	R_2	n	(Y)	phys. Data
1.419	C_6H_4-4-CF_3	1	3-CF_3	
1.420	C_6H_4-4-CF_3	1	4-CF_3	
1.421	C_6H_4-3-NO_2	0	H	
1.422	C_6H_4-3-NO_2	0	2-F	
1.423	C_6H_4-3-NO_2	0	3-F	
1.424	C_6H_4-3-NO_2	0	4-F	
1.425	C_6H_4-3-NO_2	0	2-Cl	
1.426	C_6H_4-3-NO_2	0	3-Cl	
1.427	C_6H_4-3-NO_2	0	4-Cl	
1.428	C_6H_4-3-NO_2	0	2,3-Cl_2	
1.429	C_6H_4-3-NO_2	0	2,4-Cl_2	
1.430	C_6H_4-3-NO_2	0	2,5-Cl_2	
1.431	C_6H_4-3-NO_2	0	2,6-Cl_2	
1.432	C_6H_4-3-NO_2	0	3,4-Cl_2	
1.433	C_6H_4-3-NO_2	0	3,5-Cl_2	
1.434	C_6H_4-3-NO_2	0	3-CF_3	
1.435	C_6H_4-3-NO_2	0	4-CF_3	
1.436	C_6H_4-3-NO_2	1	H	
1.437	C_6H_4-3-NO_2	1	2-F	
1.438	C_6H_4-3-NO_2	1	3-F	
1.439	C_6H_4-3-NO_2	1	4-F	
1.440	C_6H_4-3-NO_2	1	2-Cl	
1.441	C_6H_4-3-NO_2	1	3-Cl	
1.442	C_6H_4-3-NO_2	1	4-Cl	
1.443	C_6H_4-3-NO_2	1	2,3-Cl_2	
1.444	C_6H_4-3-NO_2	1	2,4-Cl_2	
1.445	C_6H_4-3-NO_2	1	2,5-Cl_2	
1.446	C_6H_4-3-NO_2	1	2,6-Cl_2	
1.447	C_6H_4-3-NO_2	1	3,4-Cl_2	
1.448	C_6H_4-3-NO_2	1	3,5-Cl_2	
1.449	C_6H_4-3-NO_2	1	3-CF_3	

No.	R_2	n	(Y)	phys. Data
1.451	C_6H_2-2,3,4-Cl_3	0	H	
1.452	C_6H_2-2,3,4-Cl_3	0	2-F	
1.453	C_6H_2-2,3,4-Cl_3	0	3-F	
1.454	C_6H_2-2,3,4-Cl_3	0	4-F	
1.455	C_6H_2-2,3,4-Cl_3	0	2-Cl	
1.456	C_6H_2-2,3,4-Cl_3	0	3-Cl	
1.457	C_6H_2-2,3,4-Cl_3	0	4-Cl	
1.458	C_6H_2-2,3,4-Cl_3	0	2,3-Cl_2	
1.459	C_6H_2-2,3,4-Cl_3	0	2,4-Cl_2	
1.460	C_6H_2-2,3,4-Cl_3	0	2,5-Cl_2	
1.461	C_6H_2-2,3,4-Cl_3	0	2,6-Cl_2	
1.462	C_6H_2-2,3,4-Cl_3	0	3,4-Cl_2	
1.463	C_6H_2-2,3,4-Cl_3	0	3,5-Cl_2	
1.464	C_6H_2-2,3,4-Cl_3	0	3-CF_3	
1.465	C_6H_2-2,3,4-Cl_3	0	4-CF_3	
1.466	C_6H_2-2,3,4-Cl_3	1	H	
1.467	C_6H_2-2,3,4-Cl_3	1	2-F	
1.468	C_6H_2-2,3,4-Cl_3	1	3-F	
1.469	C_6H_2-2,3,4-Cl_3	1	4-F	
1.470	C_6H_2-2,3,4-Cl_3	1	2-Cl	
1.471	C_6H_2-2,3,4-Cl_3	1	3-Cl	
1.472	C_6H_2-2,3,4-Cl_3	1	4-Cl	
1.473	C_6H_2-2,3,4-Cl_3	1	2,3-Cl_2	
1.474	C_6H_2-2,3,4-Cl_3	1	2,4-Cl_2	
1.475	C_6H_2-2,3,4-Cl_3	1	2,5-Cl_2	
1.476	C_6H_2-2,3,4-Cl_3	1	2,6-Cl_2	
1.477	C_6H_2-2,3,4-Cl_3	1	3,4-Cl_2	
1.478	C_6H_2-2,3,4-Cl_3	1	3,5-Cl_2	
1.479	C_6H_2-2,3,4-Cl_3	1	3-CF_3	
1.480	C_6H_2-2,3,4-Cl_3	1	4-CF_3	
1.481	C_6H_2-2,4,5-Cl_3	0	H	
1.482	C_6H_2-2,4,5-Cl_3	0	2-F	

No.	R_2	n	(Y)	phys. Data
1.483	C_6H_2-2,4,5-Cl_3	0	3-F	
1.484	C_6H_2-2,4,5-Cl_3	0	4-F	
1.485	C_6H_2-2,4,5-Cl_3	0	2-Cl	
1.486	C_6H_2-2,4,5-Cl_3	0	3-Cl	
1.487	C_6H_2-2,4,5-Cl_3	0	4-Cl	
1.488	C_6H_2-2,4,5-Cl_3	0	2,3-Cl_2	
1.489	C_6H_2-2,4,5-Cl_3	0	2,4-Cl_2	
1.490	C_6H_2-2,4,5-Cl_3	0	2,5-Cl_2	
1.491	C_6H_2-2,4,5-Cl_3	0	2,6-Cl_2	
1.492	C_6H_2-2,4,5-Cl_3	0	3,4-Cl_2	
1.493	C_6H_2-2,4,5-Cl_3	0	3,5-Cl_2	
1.494	C_6H_2-2,4,5-Cl_3	0	3-CF_3	
1.495	C_6H_2-2,4,5-Cl_3	0	4-CF_3	
1.496	C_6H_2-2,4,5-Cl_3	1	H	
1.497	C_6H_2-2,4,5-Cl_3	1	2-F	
1.498	C_6H_2-2,4,5-Cl_3	1	3-F	
1.499	C_6H_2-2,4,5-Cl_3	1	4-F	
1.500	C_6H_2-2,4,5-Cl_3	1	2-Cl	
1.501	C_6H_2-2,4,5-Cl_3	1	3-Cl	
1.502	C_6H_2-2,4,5-Cl_3	1	4-Cl	
1.503	C_6H_2-2,4,5-Cl_3	1	2,3-Cl_2	
1.504	C_6H_2-2,4,5-Cl_3	1	2,4-Cl_2	
1.505	C_6H_2-2,4,5-Cl_3	1	2,5-Cl_2	
1.506	C_6H_2-2,4,5-Cl_3	1	2,6-Cl_2	
1.507	C_6H_2-2,4,5-Cl_3	1	3,4-Cl_2	
1.508	C_6H_2-2,4,5-Cl_3	1	3,5-Cl_2	
1.509	C_6H_2-2,4,5-Cl_3	1	3-CF_3	
1.510	C_6H_2-2,4,5-Cl_3	1	4-CF_3	
1.511	C_6H_3-2-OCH_3-5-Br	0	H	
1.512	C_6H_3-2-OCH_3-5-Br	0	2-F	
1.513	C_6H_3-2-OCH_3-5-Br	0	3-F	

No.	R_2	n	(Y)	phys. Data
1.515	C_6H_3-2-OCH_3-5-Br	0	2-Cl	
1.516	C_6H_3-2-OCH_3-5-Br	0	3-Cl	
1.517	C_6H_3-2-OCH_3-5-Br	0	4-Cl	
1.518	C_6H_3-2-OCH_3-5-Br	0	2,3-Cl_2	
1.519	C_6H_3-2-OCH_3-5-Br	0	2,4-Cl_2	
1.520	C_6H_3-2-OCH_3-5-Br	0	2,5-Cl_2	
1.521	C_6H_3-2-OCH_3-5-Br	0	2,6-Cl_2	
1.522	C_6H_3-2-OCH_3-5-Br	0	3,4-Cl_2	
1.523	C_6H_3-2-OCH_3-5-Br	0	3,5-Cl_2	
1.524	C_6H_3-2-OCH_3-5-Br	0	3-CF_3	
1.525	C_6H_3-2-OCH_3-5-Br	0	4-CF_3	
1.526	C_6H_3-2-OCH_3-5-Br	1	H	
1.527	C_6H_3-2-OCH_3-5-Br	1	2-F	
1.528	C_6H_3-2-OCH_3-5-Br	1	3-F	
1.529	C_6H_3-2-OCH_3-5-Br	1	4-F	
1.530	C_6H_3-2-OCH_3-5-Br	1	2-Cl	
1.531	C_6H_3-2-OCH_3-5-Br	1	3-Cl	
1.532	C_6H_3-2-OCH_3-5-Br	1	4-Cl	
1.533	C_6H_3-2-OCH_3-5-Br	1	2,3-Cl_2	
1.534	C_6H_3-2-OCH_3-5-Br	1	2,4-Cl_2	
1.535	C_6H_3-2-OCH_3-5-Br	1	2,5-Cl_2	
1.536	C_6H_3-2-OCH_3-5-Br	1	2,6-Cl_2	
1.537	C_6H_3-2-OCH_3-5-Br	1	3,4-Cl_2	
1.538	C_6H_3-2-OCH_3-5-Br	1	3,5-Cl_2	
1.539	C_6H_3-2-OCH_3-5-Br	1	3-CF_3	
1.540	C_6H_3-2-OCH_3-5-Br	1	4-CF_3	
1.541	1-naphthyl	0	H	
1.542	1-naphthyl	0	2-F	
1.543	1-naphthyl	0	3-F	
1.544	1-naphthyl	0	4-F	
1.545	1-naphthyl	0	2-Cl	
1.546	1-naphthyl	0	3-Cl	

No.	R_2	n	(Y)	phys. Data
1.547	1-naphthyl	0	4-Cl	
1.548	1-naphthyl	0	2,3-Cl_2	
1.549	1-naphthyl	0	2,4-Cl_2	
1.550	1-naphthyl	0	2,5-Cl_2	
1.551	1-naphthyl	0	2,6-Cl_2	
1.552	1-naphthyl	0	3,4-Cl_2	
1.553	1-naphthyl	0	3,5-Cl_2	
1.554	1-naphthyl	0	3-CF_3	
1.555	1-naphthyl	0	4-CF_3	
1.556	1-naphthyl	1	H	
1.557	1-naphthyl	1	2-F	
1.558	1-naphthyl	1	3-F	
1.559	1-naphthyl	1	4-F	
1.560	1-naphthyl	1	2-Cl	
1.561	1-naphthyl	1	3-Cl	
1.562	1-naphthyl	1	4-Cl	
1.563	1-naphthyl	1	2,3-Cl_2	
1.564	1-naphthyl	1	2,4-Cl_2	
1.565	1-naphthyl	1	2,5-Cl_2	
1.566	1-naphthyl	1	2,6-Cl_2	
1.567	1-naphthyl	1	3,4-Cl_2	
1.568	1-naphthyl	1	3,5-Cl_2	
1.569	1-naphthyl	1	3-CF_3	
1.570	1-naphthyl	1	4-CF_3	

Biological examples

A. Control of animal parasites

Example B.1. In-vivo test against Trichostrongylus colubriformis and Haemonchus contortus in Mongolian gerbils (Meriones unguiculatus) on peroral administration

Six to eight week-old Mongolian gerbils are infected by artificial feeding with about 2000 larvae each of the 3rd stage of T. colubriformis and H. contortus. 6 days after infection, the gerbils are lightly anaesthetized with N_2O and treated by peroral administration with the test compounds, dissolved in a mixture of 2 parts of DMSO and 1 part of polyethylene glycol (PEG 300), using amounts of 100, 32 and 10 - 0.1 mg/kg. On day 9 (3 days after treatment), when most of the H.contortus larvae of the late 4th stage and most of the T. colubriformis are immature adults, the gerbils are killed to count the worms. The efficacy is calculated in % reduction of the number of worms in each gerbil by comparison with the geometric mean of the number of worms of 8 infected and untreated gerbils.

A strong reduction of the nematode attack is achieved in this test with compounds of the formula (I).

B. Control of plant pests

Example B.2: Action against Heliothis virescens caterpillars

Young soybean plants are sprayed with an aqueous emulsion spray mixture comprising 400 ppm of active ingredient and, after the spray-coating has dried, are populated with 10 caterpillars of Heliothis virescens in the first stage and then placed in a plastics container. Evaluation is carried out 6 days later. The percentage reduction in population and in feeding damage (% activity) are determined by comparing the number of dead caterpillars and the feeding damage on the treated plants with that on untreated plants.

The compounds of the Tables exhibit good activity against Heliothis virescens in this test.

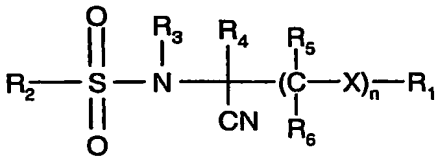
Example B.3: Action against Plutella xylostella caterpillars

Young cabbage plants are sprayed with an aqueous emulsion spray mixture comprising 400 ppm of active ingredient and, after the spray-coating has dried, are populated with 10 caterpillars of Plutella xylostella in the third stage and then placed in a plastics container. Evaluation is carried out 3 days later. The percentage reduction in population and in feeding damage (% activity) are determined by comparing the number of dead caterpillars and the feeding damage on the treated plants with that on untreated plants.

The compounds of the Tables exhibit good activity against Plutella xylostella.

What is claimed is

1. Compound of the formula



(I),

in which

R_1 is aryl or heteroaryl, in each case unsubstituted or mono- or polysubstituted by R_7, where the substituents can in each case be identical or different if their number is greater than 1;

R_2 is C_1-C_6alkyl, halo-C_1-C_6alkyl, C_3-C_8cycloalkyl, halo-C_3-C_8cycloalkyl, NHR_8, aryl or heteroaryl, in each case unsubstituted or mono- or polysubstituted by R_7, where the substituents can in each case be identical or different if their number is greater than 1, or pyrrolidinyl, piperidinyl, imidazolidinyl, piperazinyl, pyrazolidinyl, morpholinyl, indolinyl or isoindolinyl, in each case bonded via N;

R_3 is hydrogen, C_1-C_6alkyl, halo-C_1-C_6alkyl, C_1-C_6alkoxy-C_1-C_6alkyl, benzyl, C_1-C_6alkylheteroaryl, C_1-C_6alkoxycarbonyl or C_1-C_6alkylcarbonyl;

R_4, R_5 and R_6 either independently of one another are hydrogen, halogen, C_1-C_6alkyl, halo-C_1-C_6alkyl, C_1-C_6alkoxy, halo-C_1-C_6alkoxy, C_1-C_6alkylthio, halo-C_1-C_6alkylthio, C_2-C_6alkenyl, C_2-C_6alkynyl, unsubstituted or substituted C_3-C_8cycloalkyl, where the substituents are selected from the group consisting of halogen and C_1-C_6alkyl, or unsubstituted or substituted phenyl, where the substituents are selected from the group consisting of halogen, C_1-C_6alkyl and phenyl;

or R_4 and R_5, together with the carbon atoms to which they are bonded, are a five- to seven-membered, saturated or partially unsaturated heterocyclic ring having 1 to 2 heteroatoms from the group consisting of nitrogen, oxygen and sulphur;

R_7 is halogen, C_1-C_6alkyl, halo-C_1-C_6alkyl, C_1-C_6alkoxy, halo-C_1-C_6alkoxy, C_1-C_6alkylthio, halo-C_1-C_6alkylthio, C_2-C_6alkenyl, C_2-C_6alkynyl; aryl, phenylacetylenyl or heteroaryl, in each case unsubstituted or mono- or polysubstituted, where the substituents are in each case selected from the group consisting of halogen, nitro, cyano, C_1-C_6alkyl, halo-C_1-C_6alkyl, C_1-C_6alkoxy, halo-C_1-C_6alkoxy, and can in each case be identical or different if their number is greater than 1;

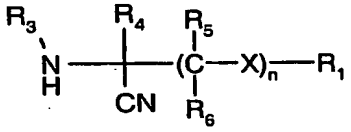
R_8 is aryl which is unsubstituted or mono- to pentasubstituted, where the substituents are selected from the group consisting of halogen, nitro, cyano, C_1-C_6alkyl, halo-C_1-C_6alkyl, C_1-C_6alkoxy and halo-C_1-C_6alkoxy, and can be identical or different if their number is greater than 1;

X is O, S, S(O) or $S(O)_2$; and

n is 0 or 1;

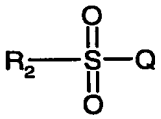
and, where appropriate, E/Z isomers, mixtures of E/Z isomers and/or tautomers thereof, in each case in free form or in salt form.

2. Process for the preparation of compounds of the formula (I), in each case in free form or in salt form, according to Claim 1, characterized in that a compound of the formula



(II),

which is known or can be prepared in analogy to corresponding known compounds and in which R_1, R_3, R_4, R_5, R_6, X and n are as defined for the formula (I), is reacted with a compound of the formula



(III),

which is known or can be prepared in analogy to corresponding known compounds and in which R_2 are as defined for the formula (I) and Q is a leaving group, if appropriate in the presence of a basic catalyst, and in each case, if desired, a compound of the formula (1), in each case in free form or in salt form, obtainable according to the process or in another manner, is converted into another compound of the formula (1), a mixture of isomers obtainable according to the process is separated and the desired isomer is isolated and/or a free compound of the formula (I) obtainable according to the process is converted into a salt or a salt of a compound of the formula (I) obtainable according to the process is converted into the free compound of the formula (I) or into another salt.

3. Composition for the control of parasites, which, in addition to carriers and/or dispersants, contains as active compound at least one compound of the formula (I) according to Claim 1.

4. Use of compounds of the formula (I) according to Claim 1 for the control of parasites.

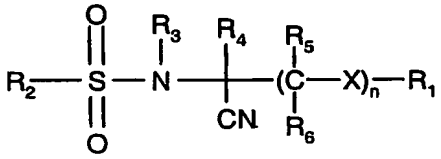
5. Process for the control of parasites, characterized in that an efficacious amount of at least one compound of the formula (I) according to Claim 1 is employed against the parasites.

6. Use of a compound of the formula (I) according to Claim 1 in a process for the control of parasites in warm-blooded animals.

7. Use of a compound of the formula (I) according to Claim 1 for the production of a pharmaceutical composition against parasites in warm-blooded animals.

Abstract

The invention relates to compounds of the general formula



(I),

in which R_1, R_2, R_3, R_4, R_5, R_6, X and n are as defined in claim 1, and, if appropriate, enantiomers thereof. The active compounds have advantageous pesticidal properties. They are suitable, in particular, for the control of parasites in warm-blooded animals.